Welcome to STN International! Enter x:x LOGINID:sssptau125txc PASSWORD: TERMINAL (ENTER 1, 2, 3, OR ?):2 \* \* \* \* \* \* \* \* \* Welcome to STN International NEWS 1 Web Page URLs for STN Seminar Schedule - N. America NEWS 2 Jan 25 BLAST(R) searching in REGISTRY available in STN on the Web NEWS 3 Jan 29 FSTA has been reloaded and moves to weekly updates NEWS 4 Feb 01 DKILIT now produced by FIZ Karlsruhe and has a new update frequency NEWS 5 Feb 19 Access via Tymnet and SprintNet Eliminated Effective 3/31/02 NEWS 6 Mar 08 Gene Names now available in BIOSIS NEWS 7 Mar 22 TOXLIT no longer available NEWS 8 Mar 22 TRCTHERMO no longer available NEWS 9 Mar 28 US Provisional Priorities searched with P in CA/CAplus and USPATFULL NEWS 10 Mar 28 LIPINSKI/CALC added for property searching in REGISTRY NEWS 11 Apr 02 PAPERCHEM no longer available on STN. Use PAPERCHEM2 instead. NEWS 12 Apr 08 "Ask CAS" for self-help around the clock NEWS 13 Apr 09 BEILSTEIN: Reload and Implementation of a New Subject Area NEWS 14 Apr 09 ZDB will be removed from STN NEWS 15 Apr 19 US Patent Applications available in IFICDB, IFIPAT, and IFIUDB NEWS 16 Apr 22 Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS NEWS 17 Apr 22 BIOSIS Gene Names now available in TOXCENTER NEWS 18 Apr 22 Federal Research in Progress (FEDRIP) now available NEWS 19 Jun 03 New e-mail delivery for search results now available NEWS 20 Jun 10 MEDLINE Reload NEWS 21 Jun 10 PCTFULL has been reloaded NEWS EXPRESS February 1 CURRENT WINDOWS VERSION IS V6.0d, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002 NEWS HOURS STN Operating Hours Plus Help Desk Availability General Internet Information NEWS INTER NEWS LOGIN Welcome Banner and News Items NEWS PHONE Direct Dial and Telecommunication Network Access to STN NEWS WWW CAS World Wide Web Site (general information) Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

=> file medicine FILE 'DRUGMONOG' ACCESS NOT AUTHORIZED COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'ADISALERTS' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 Adis International Ltd. (ADIS)

FILE 'ADISINSIGHT' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 Adis International Ltd. (ADIS)

FILE 'ADISNEWS' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 Adis International Ltd. (ADIS)

FILE 'BIOSIS' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 BIOLOGICAL ABSTRACTS INC.(R)

FILE 'BIOTECHNO' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 Elsevier Science B.V., Amsterdam. All rights reserved.

FILE 'CANCERLIT' ENTERED AT 14:21:53 ON 27 JUN 2002

FILE 'CAPLUS' ENTERED AT 14:21:53 ON 27 JUN 2002 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'CEN' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 American Chemical Society (ACS)

FILE 'DDFB' ACCESS NOT AUTHORIZED

FILE 'DDFU' ACCESS NOT AUTHORIZED

FILE 'DGENE' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 THOMSON DERWENT

FILE 'DRUGB' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 THOMSON DERWENT

FILE 'DRUGLAUNCH' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 IMSWORLD Publications Ltd

FILE 'DRUGMONOG2' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 IMSWORLD Publications Ltd

FILE 'DRUGNL' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 IMSWORLD Publications Ltd

FILE 'DRUGU' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 THOMSON DERWENT

FILE 'EMBAL' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 Elsevier Science B.V. All rights reserved.

FILE 'EMBASE' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 Elsevier Science B.V. All rights reserved.

FILE 'ESBIOBASE' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 Elsevier Science B.V., Amsterdam. All rights reserved.

FILE 'IFIPAT' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 IFI CLAIMS(R) Patent Services (IFI)

FILE 'IPA' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 American Society of Hospital Pharmacists (ASHP)

FILE 'JICST-EPLUS' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 Japan Science and Technology Corporation (JST)

FILE 'KOSMET' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 International Federation of the Societies of Cosmetics Chemists

FILE 'LIFESCI' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 Cambridge Scientific Abstracts (CSA)

FILE 'MEDICONF' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (c) 2002 FAIRBASE Datenbank GmbH, Hannover, Germany

FILE 'MEDLINE' ENTERED AT 14:21:53 ON 27 JUN 2002

FILE 'NAPRALERT' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 Board of Trustees of the University of Illinois, University of Illinois at Chicago.

FILE 'NLDB' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 Gale Group. All rights reserved.

FILE 'PASCAL' ENTERED AT 14:21:53 ON 27 JUN 2002
Any reproduction or dissemination in part or in full,
by means of any process and on any support whatsoever
is prohibited without the prior written agreement of INIST-CNRS.
COPYRIGHT (C) 2002 INIST-CNRS. All rights reserved.

FILE 'PHIC' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 PJB Publications Ltd. (PJB)

FILE 'PHIN' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 PJB Publications Ltd. (PJB)

FILE 'SCISEARCH' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 Institute for Scientific Information (ISI) (R)

FILE 'TOXCENTER' ENTERED AT 14:21:53 ON 27 JUN 2002 COPYRIGHT (C) 2002 ACS

FILE 'USPATFULL' ENTERED AT 14:21:53 ON 27 JUN 2002 CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 14:21:53 ON 27 JUN 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

=> s tamoxifene and atherosclerosis L1 28 TAMOXIFENE AND ATHEROSCLEROSIS

=> d 11 1-28

- L1 ANSWER 1 OF 28 PASCAL COPYRIGHT 2002 INIST-CNRS. ALL RIGHTS RESERVED.
- AN 2001-0215113 PASCAL
- CP Copyright .COPYRGT. 2001 INIST-CNRS. All rights reserved.
- TIEN Tamoxifen effects on endothelial function and cardiovascular risk factors in men with advanced atherosclerosis
- AU CLARKE Sarah C.; SCHOFIELD Peter M.; GRACE Andrew A.; METCALFE James C.; KIRSCHENLOHR Heide L.
- CS Department of Cardiology, Papworth Hospital NHS Trust, Papworth Everard, United Kingdom; Department of Biochemistry, University of Cambridge, Cambridge, United Kingdom
- SO Circulation: (New York, N.Y.), (2001), 103(11), 1497-1502, 25 refs. ISSN: 0009-7322 CODEN: CIRCAZ
- DT Journal
- BL Analytic
- CY United States
- LA English
- AV INIST-5907, 354000098735150030
- L1 ANSWER 2 OF 28 PASCAL COPYRIGHT 2002 INIST-CNRS. ALL RIGHTS RESERVED.
- AN 1999-0076891 PASCAL
- CP Copyright .COPYRGT. 1999 INIST-CNRS. All rights reserved.
- TIEN Effect of estrogens and antiestrogens on human breast cancer cells MCF-7 and on bovin aortic endothelial cells BAEC
- TIFR Etude de l'effet des (anti)oestrogenes sur une lignee tumorale mammaire humaine MCF-7 et sur une culture primaire endotheliale aortique bovine ABAE
- AU DELARUE Frederic; FAYE Jean-Charles (dir.)
- CS Universite de Toulouse 3, Toulouse, France (tutelle)
- SO (1998-07), 650 refs.

35 p.

Dissertation Information: Universite de Toulouse 3. Toulouse. FRA, Th. doct., 98TOU30110

- DT Dissertation
- BL Monographic
- CY France
- LA French
- SL French; English
- AV INIST-T 121738, T98TOU30110 0000; RBCCN-315552104, T98TOU30110 0000
- L1 ANSWER 3 OF 28 PASCAL COPYRIGHT 2002 INIST-CNRS. ALL RIGHTS RESERVED.
- AN 1997-0521979 PASCAL
- CP Copyright .COPYRGT. 1997 INIST-CNRS. All rights reserved.
- TIEN Effects of estrus cycle, ovariectomy, and treatment with estrogen, tamoxifen, and progesterone on apolipoprotein(a) gene expression in transgenic mice
- AU ZYSOW B. R.; KAUSER K.; LAWN R. M.; RUBANYI G. M.
- CS Falk Cardiovascular Research Center, Stanford University School of Medicine, Palo Alto, Calif, United States; Cardiovascular Department, Berlex Biosciences, Richmond, Calif, United States
- SO Arteriosclerosis, thrombosis, and vascular biology, (1997), 17(9), 1741-1745, 37 refs.

  ISSN: 1079-5642
- DT Journal
- BL Analytic
- CY United States
- LA English
- AV INIST-19104, 354000068521240190
- L1 ANSWER 4 OF 28 PASCAL COPYRIGHT 2002 INIST-CNRS. ALL RIGHTS RESERVED.
- AN 1997-0500608 PASCAL

```
Copyright .COPYRGT. 1997 INIST-CNRS. All rights reserved.
CP
      Contrasting effects of conjugated estrogens and tamoxifen on dilator
TIEN
      responses of atherosclerotic epicardial coronary arteries in nonhuman
      primates
      WILLIAMS J. K.; HONORE E. K.; ADAMS M. R.
ΑU
      Comparative Medicine Clinical Research Center and the Department of
CS
      Comparative Medicine, Bowman Gray School of Medicine of Wake Forest
      University, Winston-Salem, NC, United States
      Circulation: (New York), (1997), 96(6), 1970-1975, 46 refs.
SO
      ISSN: 0009-7322 CODEN: CIRCAZ
DT
      Journal
      Analytic
BT.
      United States
CY
      English
LА
      INIST-5907, 354000069976220430
ΑV
      ANSWER 5 OF 28 PASCAL COPYRIGHT 2002 INIST-CNRS. ALL RIGHTS RESERVED.
L1
AN
      1997-0238609
                     PASCAL
      Copyright .COPYRGT. 1997 INIST-CNRS. All rights reserved.
CP
      Tamoxifen decreases cholesterol sevenfold and abolishes lipid lesion
TIEN
      development in apolipoprotein E knockout mice
      RECKLESS J.; METCALFE J. C.; GRAINGER D. J.
ΑU
      Department of Biochemistry, University of Cambridge, United Kingdom
CS
      Circulation: (New York), (1997), 95(6), 1542-1548, 36 refs.
SO
      ISSN: 0009-7322 CODEN: CIRCAZ
DT
      Journal
BL
      Analytic
CY
      United States
LΑ
      English
      INIST-5907, 354000064450930300
ΑV
      ANSWER 6 OF 28 PASCAL COPYRIGHT 2002 INIST-CNRS. ALL RIGHTS RESERVED.
L1
      1997-0205578
                     PASCAL
ΑN
      Copyright .COPYRGT. 1997 INIST-CNRS. All rights reserved.
CP
      Tamoxifen inhibits arterial accumulation of LDL degradation products and
TIEN
      progression of coronary artery atherosclerosis in monkeys
      WILLIAMS J. K.; WAGNER J. D.; LI Z.; GOLDEN D. L.; ADAMS M. R.
AU
      Comparative Medicine Clinical Research Center, Bowman Gray School of
CS
      Medicine of Wake Forest University, Winston-Salem, NC, United States
      Arteriosclerosis, thrombosis, and vascular biology, (1997), 17(2),
SO
      403-408, 49 refs.
      ISSN: 1079-5642
DT
      Journal
      Analytic
\mathtt{BL}
CY
      United States
LA
      English
      INIST-19104, 354000063477450230
ΑV
      ANSWER 7 OF 28 PASCAL COPYRIGHT 2002 INIST-CNRS. ALL RIGHTS RESERVED.
L1
AN
      1996-0270945
                     PASCAL
      Copyright .COPYRGT. 1996 INIST-CNRS. All rights reserved.
CP
      Effects of hormonal therapies and dietary soy phytoestrogens on vaginal
TIEN
      cytology in surgically postmenopausal macaques
ΑU
      CLINE J. M.; PASCHOLD J. C.; ANTHONY M. S.; OBASANJO I. O.; ADAMS M. R.
      Department of Comparative Medicine, Bowman Gray School of Medicine,
CS
      Medical Center Boulevard, Winston-Salem, North Carolina 27157-1040,
      United States
```

Fertility and sterility, (1996), 65(5), 1031-1035, 25 refs.

DT Journal

ISSN: 0015-0282 CODEN: FESTAS

SO

```
_{
m BL}
      Analytic
CY
      United States
      English
LA
      INIST-4120, 354000043009770260
ΑV
      ANSWER 8 OF 28 PASCAL COPYRIGHT 2002 INIST-CNRS. ALL RIGHTS RESERVED.
T.1
      1996-0137800
                     PASCAL
AN
      Copyright .COPYRGT. 1996 INIST-CNRS. All rights reserved.
CP
      Antiatherogenic effects of adjuvant antiestrogens : a randomized trial
TIEN
      comparing the effects of tamoxifen and toremifene on plasma lipid levels
      in postmenopausal women with node-positive breast cancer
      SAARTO T.; BLOMQVIST C.; EHNHOLM C.; TASKINEN M.-R.; ELOMAA I.
ΑU
      Helsinki univ. cent. hosp., dep. oncology and internal medicine, 00290
CS
      Helsinki, Finland
      Journal of clinical oncology, (1996), 14(2), 429-433, 34 refs.
SO
      ISSN: 0732-183X
DT
      Journal
      Analytic
BL
CY
      United States
LA
      English
ΑV
      INIST-20094, 354000052763170160
      ANSWER 9 OF 28 PASCAL COPYRIGHT 2002 INIST-CNRS. ALL RIGHTS RESERVED.
L1
ΑN
      1996-0017102
                     PASCAL
CP
      Copyright .COPYRGT. 1996 INIST-CNRS. All rights reserved.
      The effects of the anti-estrogen tamoxifen on cardiovascular risk factors
TIEN
      in normal postmenopausal women
      GREY A. B.; STAPLETON J. P.; EVANS M. C.; REID I. R.
ΑU
      Univ. Auckland, dep. medicine, Auckland, New Zealand
CS
      The Journal of clinical endocrinology and metabolism, (1995), 80(11),
SO
      3191-3195, 45 refs.
      ISSN: 0021-972X CODEN: JCEMAZ
DT
      Journal
BL
      Analytic
CY
      United States
LA
      English
      INIST-6022, 354000058936240180
ΑV
     ANSWER 10 OF 28 USPATFULL
L1
       2002:152632 USPATFULL
ΑN
       .alpha.v integrin receptor antagonists
TI
       Duggan, Mark E., Schwenksville, PA, United States
IN
       Hartman, George D., Lansdale, PA, United States
       Meissner, Robert S., Schwenksville, PA, United States
       Perkins, James J., Churchville, PA, United States
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PΑ
                                20020625
PΙ
       US 6410526
                          B1
                                20000531 (9)
ΑI
       US 2000-583522
       US 1999-137101P
                           19990602 (60)
PRAI
                           20000131 (60)
       US 2000-179216P
DT
       Utility
FS
       GRANTED
LN.CNT 3656
       INCLM: 514/212.020
INCL
       INCLS: 514/212.060; 514/215.000; 540/521.000; 540/543.000; 540/577.000;
              540/580.000
NCL
       NCLM:
              514/212.020
              514/212.060; 514/215.000; 540/521.000; 540/543.000; 540/577.000;
       NCLS:
              540/580.000
IC
       [7]
```

```
ICM: A61K031-55
       ICS: C07D487-02; A61P019-10
       514/212.02; 514/212.06; 514/215; 540/521; 540/543; 540/577; 540/580
EXF
     ANSWER 11 OF 28 USPATFULL
L1
AN
       2002:92700 USPATFULL
       Alpha v integrin receptor antagonists
TI
       Arison, Byron H., Watchung, NJ, UNITED STATES
IN
       Cui, Donghui, Newton, PA, UNITED STATES
       Duggan, Mark E., Schwenksville, PA, UNITED STATES
       Halczenko, Wasyl, Lansdale, PA, UNITED STATES
       Hutchinson, John H., Philadelphia, PA, UNITED STATES
       Prueksaritanont, Thomayant, Lansdale, PA, UNITED STATES
       Subramanian, Raju, Perkasie, PA, UNITED STATES
       Fang, Xiaojun, Kalamazoo, MI, UNITED STATES
PΙ
       US 2002049224
                          A1
                               20020425
AΤ
       US 2001-952084
                          A1
                               20010914 (9)
       US 2000-232344P
                          20000914 (60)
PRAI
DΤ
       Utility
F.S
      APPLICATION
LN.CNT 1088
       INCLM: 514/300.000
INCL
       INCLS: 546/122.000
NCL
       NCLM:
              514/300.000
              546/122.000
       NCLS:
IC
       [7]
       ICM: A61K031-4745
       ICS: C07D471-02
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 12 OF 28 USPATFULL
L1
ΑN
       2002:72890 USPATFULL
TI
       Alpha V integrin receptor antagonists
       Coleman, Paul J., Wallingford, PA, UNITED STATES
TN
       Cui, Donghui, Newtown, PA, UNITED STATES
       Duggan, Mark E., Schwenksville, PA, UNITED STATES
       Hutchinson, John H., Philadelphia, PA, UNITED STATES
       Prueksaritanont, Thomayant, Landsdale, PA, UNITED STATES
       Silva Elipe, Maria Victoria, Mountainside, NJ, UNITED STATES
       Fang, Xiaojun, Kalamazoo, MI, UNITED STATES
PΙ
       US 2002040030
                          A1
                               20020404
ΑI
       US 2001-953606
                          A1
                               20010914 (9)
                           20000914 (60)
PRAI
       US 2000-232262P
       Utility
DT
FS
       APPLICATION
LN.CNT 1296
       INCLM: 514/256.000
TNCL
       INCLS: 544/333.000
       NCLM: 514/256.000
NCL
       NCLS: 544/333.000
TC
       ICM: C07D043-14
       ICS: A61K031-506
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
T.1
     ANSWER 13 OF 28 USPATFULL
AN
       2002:67236 USPATFULL
       Alpha V integrin receptor antagonists
TI
       Duggan, Mark E., Schwenksville, PA, UNITED STATES
IN
       Halczenko, Wasyl, Lansdale, PA, UNITED STATES
```

```
Hutchinson, John H., Philadelphia, PA, UNITED STATES
       Li, Aiwen, Audubon, PA, UNITED STATES
       Meissner, Robert S., Schwenksville, PA, UNITED STATES
       Perkins, James J., Churchville, PA, UNITED STATES
       Steele, Thomas G., Schwenksville, PA, UNITED STATES
       Wang, Jiabing, Chalfont, PA, UNITED STATES
       Patane, Michael A., Billerica, MA, UNITED STATES
PΙ
       US 2002037889
                          Α1
                                20020328
                                20010119 (9)
ΑI
       US 2001-766148
                          Α1
PRAI
       US 2000-177168P
                           20000120 (60)
DT
       Utility
FS
       APPLICATION
LN.CNT 2835
INCL
       INCLM: 514/214.010
       INCLS: 514/256.000; 514/278.000; 514/300.000; 514/340.000
NCL
              514/214.010
       NCLS:
              514/256.000; 514/278.000; 514/300.000; 514/340.000
TC
       [7]
       ICM: A61K031-55
       ICS: A61K031-505; A61K031-44
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
L1
     ANSWER 14 OF 28 USPATFULL
AN
       2002:57802 USPATFULL
TI
       Integrin receptor antagonists
       Duggan, Mark E., Schwenksville, PA, United States
TN
       Hartman, George D., Lansdale, PA, United States
       Perkins, James J., Churchville, PA, United States
       Ihle, Nathan, Mercer Island, WA, United States
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
       US 6358970
PI
                          В1
                                20020319
ΑI
       US 2000-599088
                                20000621 (9)
PRAI
       US 1999-140535P
                           19990623 (60)
       Utility
DT
       GRANTED
FS
LN.CNT 2558
INCL
       INCLM: 514/300.000
       INCLS: 514/253.000; 540/597.000; 544/362.000; 546/122.000
NCL
       NCLM: 514/300.000
       NCLS: 514/253.040; 540/597.000; 544/362.000; 546/122.000
IC
       [7]
       ICM: A61K031-435
       ICS: C07D471-04
       546/122; 544/362; 514/300; 514/253
EXF
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
T.1
     ANSWER 15 OF 28 USPATFULL
AN
       2002:17296 USPATFULL
TΙ
       Integrin receptor antagonists
ΤN
       Askew, Ben C., Lansdale, PA, UNITED STATES
       Coleman, Paul J., Wallingford, PA, UNITED STATES
       Duggan, Mark E., Schwenksville, PA, UNITED STATES
       Halczenko, Wasyl, Lansdale, PA, UNITED STATES
       Hartman, George D., Lansdale, PA, UNITED STATES
       Hunt, Cecilia A., Plymouth Meeting, PA, UNITED STATES
       Hutchinson, John H., Philadelphia, PA, UNITED STATES
       Meissner, Robert S., Schwenksville, PA, UNITED STATES
       Patane, Michael A., Harleysville, PA, UNITED STATES
       Smith, Garry R., Limerick, PA, UNITED STATES
       Wang, Jiabing, Lansdale, PA, UNITED STATES
```

```
PΙ
       US 2002010176
                           A1
                                 20020124
ΑI
       US 2001-916977
                           A1
                                 20010728 (9)
       Division of Ser. No. US 1999-454847, filed on 7 Dec 1999, PENDING Division of Ser. No. US 1998-212082, filed on 15 Dec 1998, GRANTED, Pat.
RLI
       No. US 6048861
PRAI
       US 1997-69899P
                            19971217 (60)
       US 1998-83209P
                            19980427 (60)
                            19980713 (60)
       US 1998-92622P
                            19981112 (60)
       US 1998-108063P
DT
       Utility
       APPLICATION
FS
LN.CNT 5336
INCL
       INCLM: 514/224.200
       INCLS: 514/227.500; 514/238.200; 514/249.000; 514/252.120; 514/256.000;
              514/258.000; 514/277.000; 514/412.000; 514/359.000; 514/550.000;
              514/551.000; 560/149.000; 560/168.000; 548/570.000; 548/452.000;
              546/341.000; 546/329.000; 544/399.000; 544/349.000
NCL
       NCLM:
              514/224.200
              514/227.500; 514/238.200; 514/249.000; 514/252.120; 514/256.000;
       NCLS:
               514/258.000; 514/277.000; 514/412.000; 514/359.000; 514/550.000;
              514/551.000; 560/149.000; 560/168.000; 548/570.000; 548/452.000;
              546/341.000; 546/329.000; 544/399.000; 544/349.000
IC
       [7]
       ICM: A61K031-54
       ICS: A61K031-535; A61K031-495; C07D211-82
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 16 OF 28 USPATFULL
L1
ΑN
       2001:237948 USPATFULL
       METHOD OF TREATMENT AND PREVENTION OF NITRIC OXIDE DEFICIENCY-RELATED
TI
       DISORDERS WITH CITRULLINE AND CITRULLINE DERIVATIVES
       CHWALISZ, KRISTOF, BERLIN, Germany, Federal Republic of
IN
       GARFIELD, ROBERT E., FRIENDSWOOD, TX, United States
       SHI, SHAO-QUING, GALVESTON, TX, United States
                                20011227
PΙ
       US 2001056068
                           A1
                           A1
                                19980304 (9)
ΑI
       US 1998-34351
DT
       Utility
FS
       APPLICATION
LN.CNT 1391
       INCLM: 514/021.000
INCL
       NCLM: 514/021.000
NCL
IC
       [7]
       ICM: A61K038-00
       ICS: A61K031-47
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 17 OF 28 USPATFULL
L1
AN
       2001:233621 USPATFULL
TI
       Alpha V integrin receptor antagonists
       Askew, Ben C., Newbury Park, CA, United States
TN
       Breslin, Michael J., Drexel Hill, PA, United States
       Duggan, Mark E., Schwenksville, PA, United States
       Hutchinson, John H., Philadelphia, PA, United States
       Meissner, Robert S., Schwenksville, PA, United States
       Perkins, James J., Churchville, PA, United States
       Steele, Thomas G., Schwenksville, PA, United States
       Patane, Michael A., Billerica, MA, United States
PΙ
       US 2001053853
                           A1
                                20011220
ΑI
       US 2001-767471
                           A1
                                20010123 (9)
PRAI
       US 2000-177792P
                            20000124 (60)
```

```
20000906 (60)
       US 2000-230469P
DT
       Utility
       APPLICATION
FS
LN.CNT 4132
       INCLM: 544/295.000
INCL
       INCLS: 544/296.000; 544/333.000
       NCLM: 544/295.000
NCL
       NCLs: 544/296.000; 544/333.000
       [7]
IC
       ICM: C07D043-02
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 18 OF 28 USPATFULL
L1
ΑN
       2001:168133 USPATFULL
TΙ
       Integrin receptor antagonists
       Duggan, Mark E., Schwenksville, PA, United States
TN
       Hartman, George D., Lansdale, PA, United States
       Patane, Michael A., Harleysville, PA, United States
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
PΙ
       US 6297249
                          В1
                               20011002
                               19991202 (9)
ΑI
       US 1999-453847
       Division of Ser. No. US 1998-212082, filed on 15 Dec 1998
RLI
                           19971217 (60)
PRAI
       US 1997-69899P
       US 1998-83209P
                           19980427 (60)
       US 1998-92622P
                           19980713 (60)
       US 1998-108063P
                           19981112 (60)
       Utility
DT
FS
       GRANTED
LN.CNT 4784
       INCLM: 514/256.000
INCL
       INCLS: 514/302.000; 514/352.000; 544/333.000; 546/115.000; 546/312.000
NCL
       NCLM:
              514/256.000
       NCLS:
              514/302.000; 514/352.000; 544/333.000; 546/115.000; 546/312.000
IC
       [7]
       ICM: C07D401-06
       ICS: C07D213-55; A61K031-444
       544/333; 546/115; 546/312; 514/256; 514/302; 514/352
EXF
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 19 OF 28 USPATFULL
1.1
       2001:121485 USPATFULL
ΑN
ΤI
       Integrin receptor antagonists
TN
       Duggan, Mark E., Schwenksville, PA, United States
       Meissner, Robert S., Schwenksville, PA, United States
       Perkins, James J., Churchville, PA, United States
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
                               20010731
                          В1
PΙ
       ÚS 6268378
       US 2000-498895
                                20000207 (9)
ΑI
       Division of Ser. No. US 1998-212123, filed on 15 Dec 1998, now patented,
RLI
       Pat. No. US 6066648, issued on 23 May 2000
       US 1997-69910P
                           19971217 (60)
PRAI
       US 1998-83251P
                           19980427 (60)
       US 1998-92588P
                           19980713 (60)
DΤ
       Utility
       GRANTED
FS
LN.CNT 4460
       INCLM: 514/300.000
INCL
       INCLS: 546/122.000
NCL
       NCLM:
              514/300.000
       NCLS:
              546/122.000
```

```
IC
       ICM: A61K031-4375
       ICS: C07D471-04
       546/122; 514/300
EXF
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 20 OF 28 USPATFULL
T.1
       2001:71543 USPATFULL
AN
       Bezazepine derivatives as .alpha.v integrin receptor antagonists
ΤI
       Askew, Ben C., Lansdale, PA, United States
IN
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PΑ
                                20010515
       US 6232308
                          В1
PΙ
       US 2000-496525
                                20000202 (9)
ΑI
PRAI
       US 1999-118428P
                           19990203 (60)
DT
       Utility
       Granted
FS
LN.CNT 1967
       INCLM: 514/221.000
INCL
       INCLS: 540/504.000; 540/509.000; 540/510.000; 540/511.000; 540/491.000;
              540/523.000; 514/211.050; 514/212.070
NCL
       NCLM:
              514/221.000
              514/211.050; 514/212.070; 540/491.000; 540/504.000; 540/509.000;
       NCLS:
              540/510.000; 540/511.000; 540/523.000
IC
       [7]
       ICM: A61K031-5513
       ICS: C07D243-14; C07D471-04; C07D471-14
       540/504; 540/509; 540/510; 540/511; 514/221
EXF
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER (21 OF 28 USPATFULL
L1
       2001:48064 USPATFULL
AN
       Integrin receptor antagonists
ΤI
       Duggan, Mark E., Schwenksville, PA, United States
IN
       Perkins, James J., Churchville, PA, United States
       Meissner, Robert S., Schwenksville, PA, United States
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
                                20010403
PΙ
       US 6211191
                          В1
       US 1998-212510
                                19981215 (9)
ΑI
       US 1997-69909P
                            19971217 (60)
PRAI
                            19980427 (60)
       US 1998-83250P
                            19980713 (60)
       US 1998-92630P
DT
       Utility
       Granted
FS
LN.CNT 3544
INCL
       INCLM: 514/274.000
       INCLS: 544/296.000; 544/316.000; 562/013.000
              514/274.000
NCL
              544/296.000; 544/316.000; 562/013.000
       NCLS:
IC
       [7]
       ICM: C07D403-06
       ICS: C07D401-06; A61K031-506; A61P019-02; A61P035-00
       562/13; 544/296; 544/316; 514/274
EXF
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 22 OF 28 USPATFULL
L1
AN
       2000:92099 USPATFULL
       Alkanoic acid derivatives as .alpha.v integrin receptor antagonists
TI
       Hutchinson, John H., Philadelphia, PA, United States
IN
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
                                20000718
PΙ
       US 6090944
```

```
US 1999-371444
                                19990810 (9)
AΙ
       US 1998-96378P
                           19980813 (60)
PRAI
DT
       Utility
FS
       Granted
LN.CNT 3589
       INCLM: 546/122.000
INCL
       INCLS: 514/218.000; 514/252.000; 514/299.000; 514/300.000; 514/340.000;
              514/390.000; 514/392.000; 540/492.000; 544/284.000; 546/122.000;
              546/134.000; 546/274.000; 546/004.000; 546/300.000; 546/277.700;
              548/304.700; 548/323.500; 548/324.500; 548/325.100
NCL
       NCLM:
              546/122.000
              540/492.000; 544/284.000; 546/004.000; 546/134.000; 546/274.400;
       NCLS:
              546/276.100; 546/277.700; 546/300.000; 548/304.700; 548/323.500;
              548/324.500; 548/325.100
IC
       [7]
       ICM: C07D471-02
       ICS: C07D453-02; C07D401-06; A61K031-4375; A61N019-08; A61N019-10
       546/122; 546/274.4; 546/277.7; 544/284; 540/492; 548/304.7; 514/300;
EXF
       514/218; 514/392
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
T.1
     ANSWER 23 OF 28 USPATFULL
       2000:64874 USPATFULL
AN
TΙ
       Integrin receptor antagonists
       Duggan, Mark E., Schwenksville, PA, United States
IN
       Meissner, Robert S., Schwenksville, PA, United States
       Perkins, James J., Churchville, PA, United States
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
       US 6066648
                                20000523
PΙ
ΑI
       US 1998-212123
                                19981215 (9)
PRAI
       US 1997-69910P
                           19971217 (60)
       US 1998-83251P
                           19980427 (60)
       US 1998-92588P
                           19980713 (60)
       Utility
DΤ
FS
       Granted
LN.CNT 4780
       INCLM: 514/300.000
INCL
       INCLS: 546/122.000
       NCLM: 514/300.000
NCL
       NCLS: 546/122.000
IC
       [7]
       ICM: A01N043-40
       ICS: C07D471-04
EXF
       546/122; 514/300
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 24 OF 28 USPATFULL
L1
ΑN
       2000:44101 USPATFULL
TI
       Integrin receptor antagonists
       Askew, Ben C., Lansdale, PA, United States
TN
       Coleman, Paul J., Wallingford, PA, United States
       Duggan, Mark E., Schwenksville, PA, United States
       Halczenko, Wasyl, Lansdale, PA, United States
       Hartman, George D., Lansdale, PA, United States
       Hunt, Cecilia A., Plymouth Meeting, PA, United States
       Hutchinson, John H., Philadelphia, PA, United States
       Meissner, Robert S., Schwenksville, PA, United States
       Patane, Michael A., Harleysville, PA, United States
       Smith, Garry R., Limerick, PA, United States
       Wang, Jiabing, Lansdale, PA, United States
```

```
Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
PΙ
       US 6048861
                                20000411
ΑI
       US 1998-212082
                                19981215 (9)
PRAI
       US 1997-69899P
                            19971217 (60)
       US 1998-83209P
                            19980427 (60)
       US 1998-92622P
                            19980713 (60)
       US 1998-108063P
                            19981112 (60)
DT
       Utility
       Granted
FS
LN.CNT 5443
INCL
       INCLM: 514/256.000
       INCLS: 514/300.000; 544/333.000; 546/122.000; 546/123.000
NCL
       NCLM:
              514/256.000
       NCLS:
              514/300.000; 544/333.000; 546/122.000; 546/123.000
TC:
       [7]
       ICM: C07D471-04
       ICS: C07D401-06; C07D401-12; A61K031-44; A61K031-435
       544/333; 546/122; 546/123; 514/256; 514/300
EXF
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 25 OF 28 USPATFULL
L1
ΑN
       2000:34557 USPATFULL
       Integrin receptor antagonists
TI
       Duggan, Mark E., Schwenksville, PA, United States
IN
       Hartman, George D., Lansdale, PA, United States
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
       US 6040311
                                20000321
PΙ
       US 1999-362528
                                19990728 (9)
ΑI
       US 1998-94478P
                           19980729 (60)
PRAI
DT
       Utility
       Granted
FS
LN.CNT 2801
INCL
       INCLM: 514/275.000
       INCLS: 514/300.000; 514/395.000; 514/398.000; 544/332.000; 546/122.000;
              548/308.700; 548/321.500
NCL
       NCLM:
              514/275.000
              514/300.000; 514/395.000; 514/398.000; 544/332.000; 546/122.000;
       NCLS:
              548/308.700; 548/321.500
IC
       [7]
       ICM: A61K031-505
       ICS: A61K031-435; C07D239-42; C07D471-04
EXF
       544/332; 546/122; 548/308.7; 548/321.5; 514/275; 514/300; 514/395;
       514/398
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 26 OF 28 USPATFULL
L1
       2000:9915 USPATFULL
AN
ΤI
       Integrin receptor antagonists
       Askew, Ben C., Lansdale, PA, United States
IN
       Coleman, Paul J., Wallingford, PA, United States
       Duggan, Mark E., Schwenksville, PA, United States
       Halczenko, Wasyl, Lansdale, PA, United States
       Hutchinson, John H., Philadelphia, PA, United States
       Meissner, Robert S., Schwenksville, PA, United States
       Patane, Michael A., Harleysville, PA, United States
       Wang, Jiabing, Lansdale, PA, United States
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
PΙ
       US 6017926
                                20000125
ΑI
       US 1998-212079
                                19981215 (9)
PRAI
       US 1997-69910P
                            19971217 (60)
```

```
US 1998-83251P
                           19980427 (60)
       US 1998-92588P
                           19980713 (60)
                           19980324 (60)
       US 1998-79197P
                           19980330 (60)
       US 1998-79944P
       US 1998-80397P
                           19980402 (60)
       US 1998-92624P
                           19980713 (60)
       US 1998-99948P
                           19980911 (60)
DT
       Utility
FS
       Granted
LN.CNT 5668
       INCLM: 514/300.000
INCL
       INCLS: 514/230.500; 514/300.000; 514/333.000; 544/105.000; 544/335.000;
              546/081.000; 546/082.000; 546/122.000; 546/256.000; 546/115.000;
              546/118.000; 548/306.100
NCL
              514/300.000
       NCLM:
              514/230.500; 514/333.000; 544/105.000; 544/335.000; 546/081.000;
       NCLS:
              546/082.000; 546/115.000; 546/118.000; 546/122.000; 546/256.000;
              548/306.100
IC
       [6]
       ICM: A61K031-435
       ICS: C07D471-04
EXF
       546/122; 546/256; 546/81; 546/82; 544/105; 544/335; 548/306.1;
       514/230.5; 514/333; 514/303; 514/300
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
T.1
     ANSWER 27 OF 28 USPATFULL
ΑN
       2000:9914 USPATFULL
ΤI
       Integrin antagonists
       Duggan, Mark E., Schwenksville, PA, United States
IN
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
                                20000125
PΙ
       US 6017925
       US 1998-6626
                                19980113 (9)
AΙ
       US 1997-35614P
                           19970117 (60)
PRAI
                           19971020 (60)
       US 1997-62594P
       Utility
DT
FS
       Granted
LN.CNT 1601
       INCLM: 514/300.000
INCL
       INCLS: 514/394.000; 514/562.000; 514/564.000; 514/565.000; 546/122.000;
              548/304.400; 560/013.000; 560/035.000; 560/041.000; 562/427.000;
              562/444.000; 562/450.000
NCL
       NCLM:
              514/300.000
              514/394.000; 514/562.000; 514/564.000; 514/565.000; 546/122.000;
       NCLS:
              548/304.400; 560/013.000; 560/035.000; 560/041.000; 562/427.000;
              562/444.000; 562/450.000
IC
       [6]
       ICM: A61K031-435
       ICS: C07D471-04
       546/122; 562/427; 548/304.4; 514/300; 514/394
EXF
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
T.1
     ANSWER 28 OF 28 USPATFULL
AN
       1998:61645 USPATFULL
       Benzothiophene compounds and methods of use
TΙ
       Bryant, Henry Uhlman, Indianapolis, IN, United States
IN
       Cullinan, George Joseph, Trafalgar, IN, United States
       Fahey, Kennan Joseph, Indianapolis, IN, United States
       Eli Lilly and Company, Indianapolis, IN, United States (U.S.
PA
       corporation)
       US 5760030
                                19980602
PΙ
```

```
19970630 (8)
       US 1997-886575
ΑI
DT
       Utility
FS
       Granted
LN.CNT 1058
INCL
       INCLM: 514/213.000
       INCLS: 514/324.000; 514/422.000; 514/444.000; 540/596.000; 546/202.000;
              548/527.000; 549/051.000; 549/057.000
             514/217.030
NCL
       NCLM:
             514/324.000; 514/422.000; 514/444.000; 540/596.000; 546/202.000;
       NCLS:
              548/527.000; 549/051.000; 549/057.000
IC
       ICM: A61K031-38
       ICS: A61K031-44; C07D409-00; C07D411-00
EXF
       546/202; 514/324; 514/422; 514/213; 514/444; 548/527; 540/596; 549/51;
       549/57
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
=> d 11 27-28 kwic
L1
    ANSWER 27 OF 28 USPATFULL
       . . dual .alpha.v.beta.3/.alpha.v.beta.5 antagonists useful for
AB
       inhibiting bone resorption, treating and preventing osteoporosis, and
       inhibiting restenosis, diabetic retinopathy, macular degeneration,
       angiogenesis, atherosclerosis, inflammation, viral disease,
       and tumor growth.
               .alpha.v.beta.3/.alpha.v.beta.5 antagonists useful for
SUMM
       inhibiting bone resorption, treating and preventing osteoporosis, and
       inhibiting vascular restenosis, diabetic retinopathy, macular
       degeneration, angiogenesis, atherosclerosis, inflammation,
       viral disease, and tumor growth.
       . . been found to be useful in treating and/or inhibiting
SUMM
      restenosis (recurrence of stenosis after corrective surgery on the heart
       valve), atherosclerosis, diabetic retinopathy, macular
       degeneration and angiogenesis (formation of new blood vessels), and
       inhibiting viral disease. Moreover, it has been postulated.
       . . . antagonists," are useful for inhibiting bone resorption,
SUMM
       treating and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation and tumor growth.
       . . . the invention to identify .alpha.v.beta.3 antagonist compounds
SUMM
      which are useful agents for inhibiting: bone resorption mediated by
       osteoclast cells, restenosis, atherosclerosis, inflammation,
       diabetic retinopathy, macular degeneration and angiogenesis in animals,
      preferably mammals, especially humans. Still another object of the
      invention is.
            . .alpha.v.beta.3 ligands of the present invention are also
SUMM
       useful for treating and/or inhibiting restenosis, diabetic retinopathy,
      macular degeneration, viral disease, atherosclerosis and/or
       angiogenesis in mammals.
DETD
       . . of the compounds described above. Preferably, the condition is
       selected from bone resorption, osteoporosis, restenosis, diabetic
       retinopathy, macular degeneration, angiogenesis, atherosclerosis
       , inflammation, viral disease, cancer and tumor growth. More preferably,
       the condition is selected from osteoporosis and cancer. Most preferably,
       . . . antagonizing effect; more specifically the .alpha.v.beta.3
DETD
       antagonizing effect is selected from inhibition of bone resorption,
       inhibition of restenosis, inhibition of atherosclerosis,
```

inhibition of angiogenesis, inhibition of diabetic retinopathy,

DETD

inhibition of macular degeneration, inhibition of inflammation, inhibition of viral disease, or inhibition. . . is an .alpha.v.beta.5 antagonizing effect or a dual .alpha.v.beta.3/.alpha.v.beta.5 antagonizing effect. Examples of .alpha.v.beta.5 antagonizing effects are inhibition of: restenosis, atherosclerosis, angiogenesis, diabetic retinopathy, macular degeneration, inflammation, or tumor growth. Examples of dual .alpha.v.beta.3/.alpha.v.beta.5 antagonizing effects are inhibition of: bone resorption, restenosis, atherosclerosis, angiogenesis, diabetic retinopathy, macular degeneration, inflammation, viral disease, or tumor growth. Nonlimiting examples of estrogen receptor modulators include estrogen, progesterin, estradiol, raloxifene, and tamoxifene.

### L1 ANSWER 28 OF 28 USPATFULL

SUMM . . . the older, postmenopausal population. Current chemotherapy of these cancers have relied heavily on the use of anti-estrogen compounds, such as tamoxifene. Although such mixed agonist-antagonists have beneficial effects in the treatment of these cancers, and the estrogenic side-effects are tolerable in. . .

SUMM Smooth muscle cell proliferation plays an important role in diseases such as atherosclerosis and restenosis. Vascular restenosis after percutaneous transluminal coronary angioplasty (PTCA) has been shown to be a tissue response characterized by. . .

```
=> s tamoxifene and atherosclerosis/cls
'CLS' IS NOT A VALID FIELD CODE
             O TAMOXIFENE AND ATHEROSCLEROSIS/CLS
```

L3

ANSWER 1 OF 1 USPATFULL

```
=> s tamoxifene and atherosclerosis/clm
'CLM' IS NOT A VALID FIELD CODE
L3
             1 TAMOXIFENE AND ATHEROSCLEROSIS/CLM
=> d 13
     ANSWER 1 OF 1 USPATFULL
L3
ΑN
       2001:237948 USPATFULL
       METHOD OF TREATMENT AND PREVENTION OF NITRIC OXIDE DEFICIENCY-RELATED
ΤI
       DISORDERS WITH CITRULLINE AND CITRULLINE DERIVATIVES
       CHWALISZ, KRISTOF, BERLIN, Germany, Federal Republic of
IN
       GARFIELD, ROBERT E., FRIENDSWOOD, TX, United States
       SHI, SHAO-QUING, GALVESTON, TX, United States
PΙ
       US 2001056068
                        A1
                               20011227
ΑI
       US 1998-34351
                          A1
                               19980304 (9)
DТ
       Utility
FS
       APPLICATION
LN.CNT 1391
       INCLM: 514/021.000
INCL
       NCLM: 514/021.000
NCL
IC
       [7]
       ICM: A61K038-00
       ICS: A61K031-47
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
=> d 13 1 kwic, ab
```

SUMM [0101] Partial estrogens: Raloxifene (Eli Lilly) (daily dose 0.1-600 mg/day orally, preferably 10-100 mg), tamoxifene at a daily dose of 1-200 mg/day orally, levormeloxifene (Novo-Nordisk) at a daily dose of 1-200 mg/day orally, clomiphene citrate at a daily dose of 1-200 mg, zuclomiphene citrate at a daily dose of 1-200 mg, droloxifene (3-hydroxy tamoxifene), CP 336 156 (Pfizer/Klinge), Idoxifene (Smith Kline) and RU 39 411 at equivalent doses.

CLM What is claimed is:

3. The method of claim 1, wherein the disease is atherosclerosis, restenosis, hypertension, preeclampsia and/or intrauterine fetal growth retardation.

AΒ The invention provides methods for control, management, treatment and prevention of conditions related to nitric oxide deficiency such as hypertension, cardiovascular disease, osteoporosis, diabetes mellitus, preeclampsia HELLP, syndrome and fetal growth retardation; uterine contractility disorders such as preterm labor and dysmenorrhea, cervical dystocia, infertility and early pregnancy loss; male impotence; urinary incontinence; intestinal tract disorders (e.g. altered motility and pyloric stenosis), respiratory system diseases (e.g. asthma, neonatal respiratory distress syndrome, pulmonary hypertension, and adult respiratory distress syndrome); inflammatory diseases (e.g. acute inflammation, resistance to infection, SLE-lupus, anaphylactic reaction, allograft rejection); Alzheimer's disease, stroke, growth hormone disorders, and behavior changes; dermatological conditions such as atopic eczema, topical hair loss, and burn injury; by administering citrulline or a citrulline analogue, optionally in combination with other enhancing or modulating agents, e.g., an estrogenic, partial estrogenic, progestagenic, or androgenic agent, and pharmaceutical preparations for such uses.

# 

=> s tamoxifene and vascular/clm 'CLM' IS NOT A VALID FIELD CODE 'CLM' IS NOT A VALID FIELD CODE

```
'CLM' IS NOT A VALID FIELD CODE
             2 TAMOXIFENE AND VASCULAR/CLM
=> s 15 1-2
MISSING OPERATOR L5 1-2
The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.
=> d 15 1-2
L5
     ANSWER 1 OF 2 USPATFULL
       2002:126014 USPATFULL
AN
       Formulation for topical non-invasive application in vivo
ΤI
       Cevc, Gregor, Kirchheim, GERMANY, FEDERAL REPUBLIC OF
IN
PΙ
       US 2002064524
                          Α1
                                20020530
ΑI
       US 2001-887493
                          Α1
                               20010622 (9)
       Continuation of Ser. No. WO 1998-EP8421, filed on 23 Dec 1998, UNKNOWN
RLI
       Utility
חת
FS
      APPLICATION
LN.CNT 1846
INCL
       INCLM: 424/094.630
       INCLS: 514/012.000; 514/054.000
NCL
       NCLM: 424/094.630
              514/012.000; 514/054.000
       NCLS:
IC
       [7]
       ICM: A61K038-48
       ICS: A61K031-715; A61K038-17
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 2 OF 2 USPATFULL
L5
       2001:121485 USPATFULL
ΑN
ΤI
       Integrin receptor antagonists
       Duggan, Mark E., Schwenksville, PA, United States
IN
       Meissner, Robert S., Schwenksville, PA, United States
       Perkins, James J., Churchville, PA, United States
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
PΙ
       US 6268378
                          В1
                               20010731
                                20000207 (9)
ΑI
       US 2000-498895
       Division of Ser. No. US 1998-212123, filed on 15 Dec 1998, now patented,
RLI
       Pat. No. US 6066648, issued on 23 May 2000
PRAI
       US 1997-69910P
                           19971217 (60)
       US 1998-83251P
                           19980427 (60)
       US 1998-92588P
                           19980713 (60)
DT
       Utility
       GRANTED
FS
LN.CNT 4460
INCL
       INCLM: 514/300.000
       INCLS: 546/122.000
       NCLM: 514/300.000
NCL
       NCLS:
              546/122.000
IC
       [7]
       ICM: A61K031-4375
       ICS: C07D471-04
       546/122; 514/300
EXF
```

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

# => d 15 2 kwic

### L5 ANSWER 2 OF 2 USPATFULL

DETD Nonlimiting examples of estrogen receptor modulators include estrogen, progesterin, estradiol, droloxifene, raloxifene, and tamoxifene

# CLM What is claimed is:

- . . agent, d) a matrix metalloproteinase inhibitor, e) an inhibitor of epidermal-derived, fibroblast-derived, or platelet-derived growth factors, f) an inhibitor of vascular endothelial growth factor, g) an inhibitor of fetal liver kinase-1/kinase insert domain-containing receptor, fms oncogene-like tyrosine kinase, tunica interna endothelial. . .
  - . agent, b) a matrix metalloproteinase inhibitor, c) an inhibitor of epidermal-derived, fibroblast-derived, or platelet-derived growth factors, d) an inhibitor of **vascular** endothelial growth factor, and e) an inhibitor of fetal liver kinase-1/kinase insert domain-containing receptor, fms oncogene-like tyrosine kinase, tunica interna. . .

```
=> d 15 1-2
     ANSWER 1 OF 2 USPATFULL
L5
       2002:126014 USPATFULL
AN
ΤI
       Formulation for topical non-invasive application in vivo
       Cevc, Gregor, Kirchheim, GERMANY, FEDERAL REPUBLIC OF
IN
                               20020530
PΙ
       US 2002064524
                          A1
       US 2001-887493
                          A1
                               20010622 (9)
AΙ
       Continuation of Ser. No. WO 1998-EP8421, filed on 23 Dec 1998, UNKNOWN
RLI
DΤ
       Utility
       APPLICATION
FS
LN.CNT 1846
       INCLM: 424/094.630
INCL
       INCLS: 514/012.000; 514/054.000
       NCLM:
             424/094.630
NCL
       NCLS: 514/012.000; 514/054.000
IC
       [7]
       ICM: A61K038-48
       ICS: A61K031-715; A61K038-17
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 2 OF 2 USPATFULL
1.5
       2001:121485 USPATFULL
AN
TТ
       Integrin receptor antagonists
       Duggan, Mark E., Schwenksville, PA, United States
TN
       Meissner, Robert S., Schwenksville, PA, United States
       Perkins, James J., Churchville, PA, United States
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
       US 6268378
                          В1
                                20010731
PΙ
       US 2000-498895
                                20000207 (9)
AΙ
       Division of Ser. No. US 1998-212123, filed on 15 Dec 1998, now patented,
RLI
       Pat. No. US 6066648, issued on 23 May 2000
       US 1997-69910P
                           19971217 (60)
PRAI
                           19980427 (60)
       US 1998-83251P
                           19980713 (60)
       US 1998-92588P
DT
       Utility
FS
       GRANTED
LN.CNT 4460
       INCLM: 514/300.000
INCL
       INCLS: 546/122.000
NCL
       NCLM: 514/300.000
       NCLS: 546/122.000
IC
       [7]
       ICM: A61K031-4375
       ICS: C07D471-04
       546/122; 514/300
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
=> d 15 2 kwic
     ANSWER 2 OF 2 USPATFULL
1.5
       Nonlimiting examples of estrogen receptor modulators include estrogen,
DETD
       progesterin, estradiol, droloxifene, raloxifene, and tamoxifene
CLM
       What is claimed is:
       . agent, d) a matrix metalloproteinase inhibitor, e) an inhibitor of
       epidermal-derived, fibroblast-derived, or platelet-derived growth
```

factors, f) an inhibitor of vascular endothelial growth

L1

L2 L3

L4

L5

L6

L6

AN ΤI

IN

PA

PΙ

ΑI PRAI

DT

FS

LREP

CLMN ECL

DRWN

AB

factor, g) an inhibitor of fetal liver kinase-1/kinase insert domain-containing receptor, fms oncogene-like tyrosine kinase, tunica interna endothelial. agent, b) a matrix metalloproteinase inhibitor, c) an inhibitor of epidermal-derived, fibroblast-derived, or platelet-derived growth factors, d) an inhibitor of vascular endothelial growth factor, and e) an inhibitor of fetal liver kinase-1/kinase insert domain-containing receptor, fms oncogene-like tyrosine kinase, tunica interna. => d his (FILE 'HOME' ENTERED AT 14:21:25 ON 27 JUN 2002) FILE 'ADISALERTS, ADISINSIGHT, ADISNEWS, BIOSIS, BIOTECHNO, CANCERLIT, CAPLUS, CEN, DGENE, DRUGB, DRUGLAUNCH, DRUGMONOG2, DRUGNL, DRUGU, EMBAL, EMBASE, ESBIOBASE, IFIPAT, IPA, JICST-EPLUS, KOSMET, LIFESCI, MEDICONF, MEDLINE, NAPRALERT, NLDB, PASCAL, ... ENTERED AT 14:21:53 ON 27 JUN 2002 28 S TAMOXIFENE AND ATHEROSCLEROSIS O S TAMOXIFENE AND ATHEROSCLEROSIS/CLS 1 S TAMOXIFENE AND ATHEROSCLEROSIS/CLM 93 S TAMOXIFENE AND VASCULAR 2 S TAMOXIFENE AND VASCULAR/CLM => s 14 and cholesterol 17 L4 AND CHOLESTEROL => d 16 1-17 bib, ab, kwic ANSWER 1 OF 17 USPATFULL 2002:152632 USPATFULL .alpha.v integrin receptor antagonists Duggan, Mark E., Schwenksville, PA, United States Hartman, George D., Lansdale, PA, United States Meissner, Robert S., Schwenksville, PA, United States Perkins, James J., Churchville, PA, United States Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation) 20020625 US 6410526 В1 US 2000-583522 20000531 (9) US 1999-137101P 19990602 (60) US 2000-179216P 20000131 (60) Utility GRANTED EXNAM Primary Examiner: Coleman, Brenda Durette, Philippe L., Winokur, Melvin Number of Claims: 28 Exemplary Claim: 1 0 Drawing Figure(s); 0 Drawing Page(s) LN.CNT 3656 The present invention relates to novel nonanoic acid derivatives, their synthesis, and their use as .alpha.v integrin receptor antagonists. More particularly, the compounds of the present invention are antagonists of the integrin receptors .alpha.v.beta.3 and .alpha.v.beta.5 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, inflammatory arthritis, viral disease, cancer, and

. . of the integrin receptors .alpha.v.beta.3 and .alpha.v.beta.5 AB

metastatic tumor growth.

SUMM

SUMM

SUMM

SUMM

DETD

DETD

L6

ΑN

ΤI

IN

PΙ

ΑI

FS

PRAI DT

LREP

CLMN ECL

DRWN

```
and are useful for inhibiting bone resorption, treating and preventing
       osteoporosis, and inhibiting vascular restenosis, diabetic
       retinopathy, macular degeneration, angiogenesis, atherosclerosis,
       inflammation, inflammatory arthritis, viral disease, cancer, and
      metastatic tumor growth.
       . . . . alpha.v integrin receptors associated with other
       .beta.-subunits, and are useful for inhibiting bone resorption, treating
       and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, inflammatory arthritis, viral disease,
       cancer, and metastatic tumor growth.
       . . . been presented suggesting that angiogenesis is a central factor
      in the initiation and persistence of arthritic disease, and that the
      vascular integrin .alpha.v.beta.3 may be a preferred target in
       inflammatory arthritis. Therefore, .alpha.v.beta.3 antagonists which
       inhibit angiogenesis may represent a novel.
       . . . These compounds, referred to as "dual
       .alpha.v.beta.3/.alpha.v.beta.5 antagonists," are useful for inhibiting
      bone resorption, treating and preventing osteoporosis, and inhibiting
      wascular restenosis, diabetic retinopathy, macular degeneration,
       angiogenesis, atherosclerosis, inflammation, cancer, and metastatic
       tumor growth.
       . . receptor recognizes the Arg-Gly-Asp (RGD) tripeptide sequence
       in its cognate matrix and cell surface glycoproteins (see J. Samanen, et
      al., "Vascular Indications for Integrin .alpha.v Antagonists,"
      Curr. Pharmaceut. Design 3: 545-584 (1997)). A benzazepine nucleus has
      been employed among others by.
      Nonlimiting examples of estrogen receptor modulators include estrogen,
      progesterin, estradiol, droloxifene, raloxifene, and tamoxifene
            . small unilamellar vesicles, large unilamellar vesicles and
      multilamellar vesicles. Liposomes can be formed from a variety of
      phospholipids, such as cholesterol, stearylamine or
      phosphatidylcholines.
    ANSWER 2 OF 17 USPATFULL
       2002:67236 USPATFULL
      Alpha V integrin receptor antagonists
       Duggan, Mark E., Schwenksville, PA, UNITED STATES
       Halczenko, Wasyl, Lansdale, PA, UNITED STATES
       Hutchinson, John H., Philadelphia, PA, UNITED STATES
       Li, Aiwen, Audubon, PA, UNITED STATES
      Meissner, Robert S., Schwenksville, PA, UNITED STATES
       Perkins, James J., Churchville, PA, UNITED STATES
       Steele, Thomas G., Schwenksville, PA, UNITED STATES
      Wang, Jiabing, Chalfont, PA, UNITED STATES
       Patane, Michael A., Billerica, MA, UNITED STATES
      US 2002037889
                              20020328
                         Α1
      US 2001-766148
                         Α1
                               20010119 (9)
      US 2000-177168P
                          20000120 (60)
      Utility
      APPLICATION
      MERCK AND CO INC, P O BOX 2000, RAHWAY, NJ, 070650907
      Number of Claims: 17
      Exemplary Claim: 1
      No Drawings
LN.CNT 2835
```

CAS INDEXING IS AVAILABLE FOR THIS PATENT. The present invention relates to novel imidazolidinone derivatives AB thereof, their synthesis, and their use as .alpha.v integrin receptor

```
antagonists. More particularly, the compounds of the present invention are antagonists of the integrin receptors .alpha.v.beta.3 and/or .alpha.v.beta.5 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, inflammatory arthritis, viral disease, cancer, and metastatic tumor growth.

. . . of the integrin receptors .alpha.v.beta.3 and/or .alpha.v.beta.5 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular
```

- AB . . . of the integrin receptors .alpha.v.beta.3 and/or .alpha.v.beta.5 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, inflammatory arthritis, viral disease, cancer, and metastatic tumor growth.
- SUMM . . . . alpha.v integrin receptors associated with other .beta.-subunits, and are useful for inhibiting bone resorption, treating and/or preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammatory arthritis, cancer, and metastatic tumor growth.
- SUMM . . . been presented suggesting that angiogenesis is a central factor in the initiation and persistence of arthritic disease, and that the vascular integrin .alpha.v.beta.3 may be a preferred target in inflammatory arthritis. Therefore, .alpha.v.beta.3 antagonists which inhibit angiogenesis may represent a novel. . .
- SUMM . . . These compounds, referred to as "dual .alpha.v.beta.3/.alpha.v.beta.5 antagonists," are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammatory arthritis, cancer, and metastatic tumor growth.
- SUMM . . . receptor recognizes the Arg-Gly-Asp (RGD) tripeptide sequence in its cognate matrix and cell surface glycoproteins (see J. Samanen, et al., "Vascular Indications for Integrin .alpha.v Antagonists," Curr. Pharmaceut. Design 3: 545-584 (1997)). A benzazepine nucleus has been employed among others by. . .
- SUMM [0216] Nonlimiting examples of estrogen receptor modulators include estrogen, progesterin, estradiol, droloxifene, raloxifene, and tamoxifene.
- SUMM . . . the bone-resorbing activity of isolated mature rabbit osteoclasts via binding to its receptors on osteoclasts (see M. Nakagawa et al., "Vascular endothelial growth factor (VEGF) directly enhances osteoclastic bone resorption and survival of mature osteoclasts," FEBS Letters, 473: 161-164 (2000)). Therefore, . . .
- SUMM . . . small unilamellar vesicles, large unilamellar vesicles and multilamellar vesicles. Liposomes can be formed from a variety of phospholipids, such as **cholesterol**, stearylamine or phosphatidylcholines.
- L6 ANSWER 3 OF 17 USPATFULL
- AN 2002:57802 USPATFULL
- TI Integrin receptor antagonists
- IN Duggan, Mark E., Schwenksville, PA, United States Hartman, George D., Lansdale, PA, United States Perkins, James J., Churchville, PA, United States Ihle, Nathan, Mercer Island, WA, United States
- PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
- PI US 6358970 B1 20020319
- AI US 2000-599088 20000621 (9)
- PRAI US 1999-140535P 19990623 (60)
- DT Utility

FS GRANTED

EXNAM Primary Examiner: Dentz, Bernard

LREP Durette, Philippe L., Winokur, Melvin

CLMN Number of Claims: 19 ECL Exemplary Claim: 1

DRWN 0 Drawing Figure(s); 0 Drawing Page(s)

LN.CNT 2558

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to compounds and derivatives thereof, their synthesis, and their use as integrin receptor antagonists. More particularly, the compounds of the present invention are antagonists of the integrin receptors .alpha.v.beta.3 and/or .alpha.v.beta.5 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, inflammatory arthritis, viral disease, cancer, and metastatic tumor growth.

AB . . . of the integrin receptors .alpha.v.beta.3 and/or .alpha.v.beta.5 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, inflammatory arthritis, viral disease, cancer, and metastatic tumor growth.

SUMM . . . . alpha.v integrin receptors associated with other .beta.-subunits, and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, inflammatory arthritis, viral disease, cancer, and metastatic tumor growth.

SUMM . . . been presented suggesting that angiogenesis is a central factor in the initiation and persistence of arthritic disease, and that the vascular integrin .alpha.v.beta.3 may be a preferred target in inflammatory arthritis. Therefore, .alpha.v.beta.3 antagonists which inhibit angiogenesis may represent a novel. . .

SUMM . . . These compounds, referred to as "dual .beta.v.beta.3/.alpha.v.beta.5 antagonists," are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, cancer, and metastatic tumor growth.

SUMM . . . receptor recognizes the Arg-Gly-Asp (RGD) tripeptide sequence in its cognate matrix and cell surface glycoproteins (see J. Samanen, et al., "Vascular Indications for Integrin .alpha.v Antagonists," Curr. Pharmaceut. Design 3: 545-584 (1997)). A benzazepine nucleus has been employed among others by. . .

DETD Nonlimiting examples of estrogen receptor modulators include estrogen, progesterin, estradiol, droloxifene, raloxifene, and tamoxifene

DETD . . . small unilamellar vesicles, large unilamellar vesicles and multilamellar vesicles. Liposomes can be formed from a variety of phospholipids, such as **cholesterol**, stearylamine or phosphatidylcholines.

- L6 ANSWER 4 OF 17 USPATFULL
- AN 2002:17296 USPATFULL
- TI Integrin receptor antagonists
- IN Askew, Ben C., Lansdale, PA, UNITED STATES
  Coleman, Paul J., Wallingford, PA, UNITED STATES
  Duggan, Mark E., Schwenksville, PA, UNITED STATES
  Halczenko, Wasyl, Lansdale, PA, UNITED STATES

```
Hartman, George D., Lansdale, PA, UNITED STATES
       Hunt, Cecilia A., Plymouth Meeting, PA, UNITED STATES
       Hutchinson, John H., Philadelphia, PA, UNITED STATES
       Meissner, Robert S., Schwenksville, PA, UNITED STATES
       Patane, Michael A., Harleysville, PA, UNITED STATES
       Smith, Garry R., Limerick, PA, UNITED STATES
       Wang, Jiabing, Lansdale, PA, UNITED STATES
                               20020124
PΙ
       US 2002010176
                          A1
       US 2001-916977
                               20010728 (9)
                          Α1
ΑI
       Division of Ser. No. US 1999-454847, filed on 7 Dec 1999, PENDING
RLI
       Division of Ser. No. US 1998-212082, filed on 15 Dec 1998, GRANTED, Pat.
       No. US 6048861
       US 1997-69899P
                           19971217 (60)
PRAI
       US 1998-83209P
                           19980427 (60)
       US 1998-92622P
                           19980713 (60)
                           19981112 (60)
       US 1998-108063P
DT
       Utility
       APPLICATION
FS
       MERCK AND CO INC, P O BOX 2000, RAHWAY, NJ, 070650907
LREP
CLMN
      Number of Claims: 40
ECL
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 5336
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       The present invention relates to compounds and derivatives thereof,
AB
       their synthesis, and their use as integrin receptor antagonists. More
       particularly, the compounds of the present invention are antagonists of
       the integrin receptors .alpha.v.beta.3, .alpha.v.beta.5, and/or
       .alpha.v.beta.6 and are useful for inhibiting bone resorption, treating
       and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, wound healing, viral disease, tumor
       growth, and metastasis.
            . the integrin receptors .alpha.v.beta.3, .alpha.v.beta.5, and/or
AB
       .alpha.v.beta.6 and are useful for inhibiting bone resorption, treating
       and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, wound healing, viral disease, tumor
       growth, and metastasis.
            . the integrin receptors .alpha.v.beta.3, .alpha.v.beta.5, and/or
SUMM
       .alpha.v.beta.6 and are useful for inhibiting bone resorption, treating
       and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, wound healing, viral disease, tumor
       growth, and metastasis.
         . . These compounds, referred to as "dual
SUMM
       .alpha.v.beta.3/.alpha.v.beta.5 antagonists," are useful for inhibiting
       bone resorption, treating and preventing osteoporosis, and inhibiting
       vascular restenosis, diabetic retinopathy, macular degeneration,
       angiogenesis, atherosclerosis, inflammation, viral disease, tumor
       growth, and metastasis.
       [1130] Nonlimiting examples of estrogen receptor modulators include
SUMM
       estrogen, progesterin, estradiol, droloxifene, raloxifene, and
       tamoxifene.
       . . . small unilamellar vesicles, large unilamellar vesicles and
SUMM
       multilamellar vesicles. Liposomes can be formed from a variety of
       phospholipids, such as cholesterol, stearylamine or
       phosphatidylcholines.
```

```
2001:233621 USPATFULL
ΑN
       Alpha V integrin receptor antagonists
ΤI
       Askew, Ben C., Newbury Park, CA, United States
IN
       Breslin, Michael J., Drexel Hill, PA, United States
       Duggan, Mark E., Schwenksville, PA, United States
       Hutchinson, John H., Philadelphia, PA, United States
       Meissner, Robert S., Schwenksville, PA, United States
       Perkins, James J., Churchville, PA, United States
       Steele, Thomas G., Schwenksville, PA, United States
       Patane, Michael A., Billerica, MA, United States
                               20011220
       US 2001053853
                          A1
PΙ
                               20010123 (9)
ΑI
       US 2001-767471
                          Α1
       US 2000-177792P
                           20000124 (60)
PRAI
       US 2000-230469P
                           20000906 (60)
DT
       Utility
       APPLICATION
FS
      MERCK AND CO INC, P O BOX 2000, RAHWAY, NJ, 070650907
LREP.
       Number of Claims: 24
CLMN
       Exemplary Claim: 1
ECL
DRWN
       No Drawings
LN.CNT 4132
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       The present invention relates to novel alkanoic acid derivatives
       thereof, their synthesis, and their use as .alpha.v integrin receptor
       antagonists. More particularly, the compounds of the present invention
       are antagonists of the integrin receptors .alpha.v.beta.3 and/or
       .alpha.v.beta.5 and are useful for inhibiting bone resorption, treating
       and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammatory arthritis, cancer, and metastatic tumor
       growth.
            . of the integrin receptors .alpha.v.beta.3 and/or
AB
       .alpha.v.beta.5 and are useful for inhibiting bone resorption, treating
       and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammatory arthritis, cancer, and metastatic tumor
       growth.
               .alpha.v integrin receptors associated with other
SUMM
       .beta.-subunits, and are useful for inhibiting bone resorption, treating
       and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammatory arthritis, cancer, and metastatic tumor
               been presented suggesting that angiogenesis is a central factor
SUMM
       in the initiation and persistence of arthritic disease, and that the
       vascular integrin .alpha.v.beta.3 may be a preferred target in
       inflammatory arthritis. Therefore, .alpha.v.beta.3 antagonists which
       inhibit angiogenesis may represent a novel.
       . . . These compounds, referred to as "dual
SUMM
       .alpha.v.beta.3/.alpha.v.beta.5 antagonists," are useful for inhibiting
       bone resorption, treating and preventing osteoporosis, and inhibiting
       vascular restenosis, diabetic retinopathy, macular degeneration,
       angiogenesis, atherosclerosis, inflammatory arthritis, cancer, and
       metastatic tumor growth.
       . . receptor recognizes the Arg-Gly-Asp (RGD) tripeptide sequence
SUMM
       in its cognate matrix and cell surface glycoproteins (see J. Samanen, et
       al., "Vascular Indications for Integrin .alpha.v Antagonists,"
       Curr. Pharmaceut. Design 3: 545-584 (1997)). A benzazepine nucleus has
       been employed among others by.
                                       .
SUMM
       [0333] Nonlimiting examples of estrogen receptor modulators include
```

estrogen, progesterin, estradiol, droloxifene, raloxifene, and tamoxifene. small unilamellar vesicles, large unilamellar vesicles and SUMM multilamellar vesicles. Liposomes can be formed from a variety of phospholipids, such as cholesterol, stearylamine or phosphatidylcholines. ANSWER 6 OF 17 USPATFULL Lб 2001:168133 USPATFULL AN Integrin receptor antagonists TI Duggan, Mark E., Schwenksville, PA, United States IN Hartman, George D., Lansdale, PA, United States Patane, Michael A., Harleysville, PA, United States Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation) PA PΙ US 6297249 В1 20011002 US 1999-453847 19991202 (9) ΑI Division of Ser. No. US 1998-212082, filed on 15 Dec 1998 RLI US 1997-69899P 19971217 (60) PRAI US 1998-83209P 19980427 (60) US 1998-92622P 19980713 (60) US 1998-108063P 19981112 (60) DTUtility GRANTED FS EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Rao, Deepak R. LREP Durette, Philippe L., Winokur, Melvin Number of Claims: 27 CLMN ECL Exemplary Claim: 1 No Drawings DRWN LN.CNT 4784 CAS INDEXING IS AVAILABLE FOR THIS PATENT. The present invention relates to compounds and derivatives thereof, their synthesis, and their use as integrin receptor antagonists. More particularly, the compounds of the present invention are antagonists of the integrin receptors .alpha.v.beta.3, .alpha.v.beta.5, and/or .alpha.v.beta.6 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, wound healing, viral disease, tumor growth, and metastasis. . the integrin receptors .alpha.v.beta.3, .alpha.v.beta.5, and/or AB .alpha.v.beta.6 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, wound healing, viral disease, tumor growth, and metastasis. . . the integrin receptors .alpha.v.beta.3, .alpha.v.beta.5, and/or SUMM .alpha.v.beta.6 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, wound healing, viral disease, tumor growth, and metastasis. These compounds, referred to as "dual SUMM . . . .alpha.v.beta.3/.alpha.v.beta.5 antagonists," are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, viral disease, tumor growth, and metastasis. Nonlimiting examples of estrogen receptor modulators include estrogen, SUMM progesterin, estradiol, droloxifene, raloxifene, and tamoxifene

DETD

```
. small unilamellar vesicles, large unilamellar vesicles and
SUMM
       multilamellar vesicles. Liposomes can be formed from a variety of
       phospholipids, such as cholesterol, stearylamine or
       phosphatidylcholines.
     ANSWER 7 OF 17 USPATFULL
L6
AN
       2001:121485 USPATFULL
ΤI
       Integrin receptor antagonists
       Duggan, Mark E., Schwenksville, PA, United States
IN
       Meissner, Robert S., Schwenksville, PA, United States
       Perkins, James J., Churchville, PA, United States
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PΑ
                               20010731
PΙ
       US 6268378
                          В1
ΑI
       US 2000-498895
                               20000207 (9)
RLI
       Division of Ser. No. US 1998-212123, filed on 15 Dec 1998, now patented,
       Pat. No. US 6066648, issued on 23 May 2000
                           19971217 (60)
PRAI
       US 1997-69910P
       US 1998-83251P
                           19980427 (60)
       US 1998-92588P
                           19980713 (60)
DT
       Utility
FS
       GRANTED
EXNAM Primary Examiner: McKane, Joseph K.; Assistant Examiner: Solola, Taofiq
LREP
       Durette, Philippe L., Winokur, Melvin
CLMN
       Number of Claims: 30
ECL
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 4460
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       The present invention relates to compounds and derivatives thereof,
AΒ
       their synthesis, and their use as vitronectin receptor antagonists. More
       particularly, the compounds of the present invention are antagonists of
       the vitronectin receptors .alpha.v.beta.3 and/or .alpha.v.beta.5 and are
       useful for inhibiting bone resorption, treating and preventing
       osteoporosis, and inhibiting vascular restenosis, diabetic
       retinopathy, macular degeneration, angiogenesis, atherosclerosis,
       inflammation, viral disease, and tumor growth.
         . . of the vitronectin receptors .alpha.v.beta.3 and/or
AB
       .alpha.v.beta.5 and are useful for inhibiting bone resorption, treating
       and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, viral disease, and tumor growth.
            . the integrin receptors .alpha..nu..beta.3, .alpha..nu..beta.5,
SUMM
       and/or .alpha..nu..beta.6 and are useful for inhibiting bone resorption,
       treating and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, wound healing, viral disease, tumor
       growth, and metastasis.
               These compounds, referred to as "dual
SUMM
       .alpha..nu..beta.3/.alpha..nu..beta.5 antagonists," are useful for
       inhibiting bone resorption, treating and preventing osteoporosis, and
       inhibiting vascular restenosis, diabetic retinopathy, macular
       degeneration, angiogenesis, atherosclerosis, inflammation, tumor growth,
       and metastasis.
       Nonlimiting examples of estrogen receptor modulators include estrogen,
DETD
       progesterin, estradiol, droloxifene, raloxifene, and tamoxifene
```

small unilamellar vesicles, large unilamellar vesicles and

multilamellar vesicles. Liposomes can be formed from a variety of

phospholipids, such as cholesterol, stearylamine or

```
phosphatidylcholines.
       What is claimed is:
CLM
          agent, d) a matrix metalloproteinase inhibitor, e) an inhibitor of
       epidermal-derived, fibroblast-derived, or platelet-derived growth
       factors, f) an inhibitor of vascular endothelial growth
       factor, g) an inhibitor of fetal liver kinase-1/kinase insert
       domain-containing receptor, fms oncogene-like tyrosine kinase, tunica
       interna endothelial.
          agent, b) a matrix metalloproteinase inhibitor, c) an inhibitor of
       epidermal-derived, fibroblast-derived, or platelet-derived growth
       factors, d) an inhibitor of vascular endothelial growth
       factor, and e) an inhibitor of fetal liver kinase-1/kinase insert
       domain-containing receptor, fms oncogene-like tyrosine kinase, tunica
       interna. .
     ANSWER 8 OF 17 USPATFULL
L6
AN
       2001:71543 USPATFULL
       Bezazepine derivatives as .alpha.v integrin receptor antagonists
TI
       Askew, Ben C., Lansdale, PA, United States
IN
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
       US 6232308
                          В1
                               20010515
PΙ
       US 2000-496525
                               20000202 (9)
ΑI
      US 1999-118428P
                         19990203 (60)
PRAI
DT
       Utility
FS
       Granted
EXNAM Primary Examiner: Shah, Mukund J.
       Durette, Philippe L., Winokur, Melvin
CLMN
       Number of Claims: 15
       Exemplary Claim: 1
ECL
DRWN
       No Drawings
LN.CNT 1967
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       The present invention relates to benzazepine derivatives and their use
       as .alpha.v integrin receptor antagonists. More particularly, the
       compounds of the present invention are antagonists of the integrin
       receptors .alpha.v.beta.3, .alpha.v.beta.5, and/or .alpha.v.beta.6 and
       are useful for inhibiting bone resorption, treating and preventing
       osteoporosis, and inhibiting vascular restenosis, diabetic
       retinopathy, macular degeneration, angiogenesis, atherosclerosis,
       inflammation, wound healing, viral disease, tumor growth, and
       metastasis.
       . . . the integrin receptors .alpha.v.beta.3, .alpha.v.beta.5, and/or
AB
       .alpha.v.beta.6 and are useful for inhibiting bone resorption, treating
       and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, wound healing, viral disease, tumor
       growth, and metastasis.
       . . . the integrin receptors .alpha.v.beta.3, .alpha.v.beta.5, and/or
SUMM
       .alpha.v.beta.6 and are useful for inhibiting bone resorption, treating
       and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, wound healing, viral disease, tumor
       growth, and metastasis.
       . . . These compounds, referred to as "dual
SUMM
       .alpha.v.beta.3/.alpha.v.beta.5 antagonists," are useful for inhibiting
       bone resorption, treating and preventing osteoporosis, and inhibiting
       vascular restenosis, diabetic retinopathy, macular degeneration,
       angiogenesis, atherosclerosis, inflammation, viral disease, tumor
       growth, and metastasis.
       Nonlimiting examples of estrogen receptor modulators include estrogen,
SUMM
```

SUMM

```
progesterin, estradiol, droloxifene, raloxifene, and tamoxifene
             . small unilamellar vesicles, large unilamellar vesicles and
SUMM
      multilamellar vesicles. Liposomes can be formed from a variety of
       phospholipids, such as cholesterol, stearylamine or
       phosphatidylcholines.
    ANSWER 9 OF 17 USPATFULL
L6
       2001:48064 USPATFULL
ΑN
       Integrin receptor antagonists
TI
       Duggan, Mark E., Schwenksville, PA, United States
ΤN
       Perkins, James J., Churchville, PA, United States
      Meissner, Robert S., Schwenksville, PA, United States
      Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PΑ
      US 6211191
                          В1
                               20010403
PΙ
      US 1998-212510
                               19981215 (9)
ΑI
      US 1997-69909P
                           19971217 (60)
PRAI
      US 1998-83250P
                           19980427 (60)
      US 1998-92630P
                           19980713 (60)
DT
      Utility
FS
       Granted
EXNAM Primary Examiner: Raymond, Richard L.; Assistant Examiner: Jayaram, Beby
LREP
       Durette, Philippe L., Winokur, Melvin
      Number of Claims: 23
CLMN
ECL
       Exemplary Claim: 1
DRWN
      No Drawings
LN.CNT 3544
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       The present invention relates to compounds and derivatives thereof,
       their synthesis, and their use as integrin receptor antagonists. More
       particularly, the compounds of the present invention are antagonists of
       the integrin receptors .alpha..nu..beta.3, .alpha..nu..beta.5, and/or
       .alpha..nu..beta.6 and are useful for inhibiting bone resorption,
       treating and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, wound healing, viral disease, tumor
       growth, and metastasis.
            . the integrin receptors .alpha..nu..beta.3, .alpha..nu..beta.5,
AB
       and/or .alpha..nu..beta.6 and are useful for inhibiting bone resorption,
       treating and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, wound healing, viral disease, tumor
       growth, and metastasis.
       . . . the integrin receptors .alpha..nu..beta.3, .alpha..nu..beta.5,
SUMM
       and/or .alpha..nu..beta.6 and are useful for inhibiting bone resorption,
       treating and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, wound healing, viral disease, tumor
       growth, and metastasis.
       . . . These compounds, referred to as "dual
SUMM
       .alpha..nu..beta.3/.alpha..nu..beta.5 antagonists," are useful for
       inhibiting bone resorption, treating and preventing osteoporosis, and
       inhibiting vascular restenosis, diabetic retinopathy, macular
       degeneration, angiogenesis, atherosclerosis, inflammation, tumor growth,
       and metastasis.
       Nonlimiting examples of estrogen receptor modulators include estrogen,
SUMM
       progesterin, estradiol, droloxifene, raloxifene, and tamoxifene
```

. small unilamellar vesicles, large unilamellar vesicles and

multilamellar vesicles. Liposomes can be formed from a variety of

SUMM

phospholipids, such as **cholesterol**, stearylamine or phosphatidylcholines.

```
L6
     ANSWER 10 OF 17 USPATFULL
AN
       2000:92099 USPATFULL
       Alkanoic acid derivatives as .alpha.v integrin receptor antagonists
ΤI
       Hutchinson, John H., Philadelphia, PA, United States
IN
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
       US 6090944
                               20000718
PΙ
       US 1999-371444
                               19990810 (9)
ΑI
       US 1998-96378P
                           19980813 (60)
PRAI
DT
       Utility
       Granted
FS
EXNAM
       Primary Examiner: Higel, Floyd D.
LREP
       Durette, Philippe L., Winokur, Melvin
       Number of Claims: 36
CLMN
ECL
       Exemplary Claim: 1,24
DRWN
      No Drawings
LN.CNT 3589
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       The present invention relates to compounds and derivatives thereof,
AB
       their synthesis, and their use as integrin receptor antagonists. More
       particularly, the compounds of the present invention are antagonists of
       the integrin receptors .alpha.v.beta.3, .alpha.v.beta.5 and/or
       .alpha.v.beta.6 and are useful for inhibiting bone resorption, treating
       and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, inflammatory arthritis, viral disease,
       and tumor growth and metastasis.
            . the integrin receptors .alpha.v.beta.3, .alpha.v.beta.5 and/or
AB
       .alpha.v.beta.6 and are useful for inhibiting bone resorption, treating
       and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, inflammatory arthritis, viral disease,
       and tumor growth and metastasis.
SUMM
           . the integrin receptors .alpha..nu..beta.3, .alpha..nu..beta.5
       and/or .alpha..nu..beta.6 and are useful for inhibiting bone resorption,
       treating and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, inflammatory arthritis, viral disease,
       tumor growth, and metastasis.
SUMM
       . . been presented suggesting that angiogenesis is a central factor
      in the initiation and persistence of arthritic disease, and that the
      vascular integrin .alpha..nu..beta.3 may be a preferred target
       in inflammatory arthritis. Therefore, .alpha..nu..beta.3 antagonists
      which inhibit angiogenesis may represent a novel.
          . . These compounds, referred to as "dual
SUMM
       .alpha..nu..beta.3/.alpha..nu..beta.5 antagonists," are useful for
       inhibiting bone resorption, treating and preventing osteoporosis, and
       inhibiting vascular restenosis, diabetic retinopathy, macular
       degeneration, angiogenesis, atherosclerosis, inflammation, inflammatory
       arthritis, viral disease, tumor growth, and metastasis.
       . . receptor recognizes the Arg-Gly-Asp (RGD) tripeptide sequence
SUMM
      in its cognate matrix and cell surface glycoproteins (see J. Samanen, et
       al., "Vascular Indications for Integrin
       .alpha..nu.Antagonists, "Curr. Pharmaceut. Design 3: 545-584(1997)). A
      benzazepine nucleus has been employed among others by Genentech and.
```

Nonlimiting examples of estrogen receptor modulators include estrogen,

progesterin, estradiol, droloxifene, raloxifene, and tamoxifene

```
. . small unilamellar vesicles, large unilamellar vesicles and
SUMM
       multilamellar vesicles. Liposomes can be formed from a variety of
       phospholipids, such as cholesterol, stearylamine or
       phosphatidylcholines.
     ANSWER 11 OF 17 USPATFULL
L6
       2000:64874 USPATFULL
AN
       Integrin receptor antagonists
TI
       Duggan, Mark E., Schwenksville, PA, United States
IN
       Meissner, Robert S., Schwenksville, PA, United States
       Perkins, James J., Churchville, PA, United States
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
       US 6066648
                               20000523
PΙ
       US 1998-212123
                               19981215 (9)
ΑI
       US 1997-69910P
                           19971217 (60)
PRAI
       US 1998-83251P
                           19980427 (60)
       US 1998-92588P
                           19980713 (60)
DT
       Utility
FS
       Granted
EXNAM Primary Examiner: Richter, Johann; Assistant Examiner: Keating, Dominic
       Durette, Philippe L., Winokur, Melvin, Sabatelli, Anthony D.
LREP
CLMN
       Number of Claims: 40
       Exemplary Claim: 1
ECL
DRWN
       No Drawings
LN.CNT 4780
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       The present invention relates to compounds and derivatives thereof,
AΒ
       their synthesis, and their use as vitronectin receptor antagonists. More
       particularly, the compounds of the present invention are antagonists of
       the vitronectin receptors .alpha..nu..beta.3 and/or .alpha..nu..beta.5
       and are useful for inhibiting bone resorption, treating and preventing
       osteoporosis, and inhibiting vascular restenosis, diabetic
       retinopathy, macular degeneration, angiogenesis, atherosclerosis,
       inflammation, viral disease, and tumor growth.
         . . of the vitronectin receptors .alpha..nu..beta.3 and/or
AB
       .alpha..nu..beta.5 and are useful for inhibiting bone resorption,
       treating and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, viral disease, and tumor growth.
         . . the integrin receptors .alpha..nu..beta.3, .alpha..nu..beta.5,
SUMM
       and/or .alpha..nu..beta.6 and are useful for inhibiting bone resorption,
       treating and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, wound healing, viral disease, tumor
       growth, and metastasis.
            . These compounds, referred to as "dual
SUMM
       .alpha..nu..beta.3/.alpha..nu..beta.5 antagonists," are useful for
       inhibiting bone resorption, treating and preventing osteoporosis, and
       inhibiting vascular restenosis, diabetic retinopathy, macular
       degeneration, angiogenesis, atherosclerosis, inflammation, tumor growth,
       and metastasis.
       Nonlimiting examples of estrogen receptor modulators include estrogen,
DETD
       progesterin, estradiol, droloxifene, raloxifene, and tamoxifene
            . small unilamellar vesicles, large unilamellar vesicles and
DETD
       multilamellar vesicles. Liposomes can be formed from a variety of
       phospholipids, such as cholesterol, stearylamine or
       phosphatidylcholines.
```

```
ANSWER 12 OF 17 USPATFULL
L6
       2000:44101 USPATFULL
ΑN
ΤI
       Integrin receptor antagonists
       Askew, Ben C., Lansdale, PA, United States
IN
       Coleman, Paul J., Wallingford, PA, United States
       Duggan, Mark E., Schwenksville, PA, United States
       Halczenko, Wasyl, Lansdale, PA, United States
      Hartman, George D., Lansdale, PA, United States
      Hunt, Cecilia A., Plymouth Meeting, PA, United States
      Hutchinson, John H., Philadelphia, PA, United States
      Meissner, Robert S., Schwenksville, PA, United States
       Patane, Michael A., Harleysville, PA, United States
       Smith, Garry R., Limerick, PA, United States
      Wang, Jiabing, Lansdale, PA, United States
      Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PΑ
                               20000411
PΤ
      US 6048861
      US 1998-212082
                               19981215 (9)
ΑI
      US 1997-69899P
                           19971217 (60)
PRAI
                           19980427 (60)
      US 1998-83209P
                           19980713 (60)
      US 1998-92622P
      US 1998-108063P
                           19981112 (60)
DT
      Utility
FS
       Granted
      Primary Examiner: Shah, Mukund J.; Assistant Examiner: Rao, Deepak R.
EXNAM
LREP
       Durette, Philippe L., Winokur, Melvin, Sabatelli, Anthony
      Number of Claims: 47
CLMN
ECL
       Exemplary Claim: 1
DRWN
      No Drawings
LN.CNT 5443
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       The present invention relates to compounds and derivatives thereof,
       their synthesis, and their use as integrin receptor antagonists. More
       particularly, the compounds of the present invention are antagonists of
       the integrin receptors .alpha.v.beta.3, .alpha.v.beta.5, and/or
       .alpha.v.beta.6 and are useful for inhibiting bone resorption, treating
       and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, wound healing, viral disease, tumor
       growth, and metastasis.
            . the integrin receptors .alpha.v.beta.3, .alpha.v.beta.5, and/or
AΒ
       .alpha.v.beta.6 and are useful for inhibiting bone resorption, treating
       and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, wound healing, viral disease, tumor
       growth, and metastasis.
       . . . the integrin receptors .alpha.v.beta.3, .alpha.v.beta.5, and/or
SUMM
       .alpha.v.beta.6 and are useful for inhibiting bone resorption, treating
       and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, wound healing, viral disease, tumor
       growth, and metastasis.
       . . . These compounds, referred to as "dual
SUMM
       .alpha.v.beta.3/.alpha.v.beta.5 antagonists," are useful for inhibiting
      bone resorption, treating and preventing osteoporosis, and inhibiting
       vascular restenosis, diabetic retinopathy, macular degeneration,
       angiogenesis, atherosclerosis, inflammation, viral disease, tumor
       growth, and metastasis.
      Nonlimiting examples of estrogen receptor modulators include estrogen,
DETD
       progesterin, estradiol, droloxifene, raloxifene, and tamoxifene
```

```
. small unilamellar vesicles, large unilamellar vesicles and
DETD
       multilamellar vesicles. Liposomes can be formed from a variety of
       phospholipids, such as cholesterol, stearylamine or
       phosphatidylcholines.
     ANSWER 13 OF 17 USPATFULL
L6
       2000:34557 USPATFULL
AN
       Integrin receptor antagonists
ΤI
       Duggan, Mark E., Schwenksville, PA, United States
IN
       Hartman, George D., Lansdale, PA, United States
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
                               20000321
PΙ
       US 6040311
       US 1999-362528
                               19990728 (9)
ΑI
PRAI
       US 1998-94478P
                           19980729 (60)
DT
       Utility
FS
       Granted
EXNAM Primary Examiner: Dentz, Bernard
       Durette, Philippe L., Winokur, Melvin, Sabatelli, Anthony D.
LREP
       Number of Claims: 33
CLMN
       Exemplary Claim: 1
ECL
DRWN
       No Drawings
LN.CNT 2801
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB
       The present invention relates to compounds and derivatives thereof,
       their synthesis, and their use as integrin receptor antagonists. More
       particularly, the compounds of the present invention are antagonists of
       the integrin receptors .alpha..nu..beta.3, .alpha..nu..beta.5 and/or
       .alpha..nu..beta.6 and are useful for inhibiting bone resorption,
       treating and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, inflammatory arthritis, viral disease,
       and tumor growth and metastasis.
             . the integrin receptors .alpha..nu..beta.3, .alpha..nu..beta.5
AΒ
       and/or .alpha..nu..beta.6 and are useful for inhibiting bone resorption,
       treating and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, inflammatory arthritis, viral disease,
       and tumor growth and metastasis.
            . the integrin receptors .alpha..nu..beta.3, .alpha..nu..beta.5
SUMM
       and/or .alpha..nu..beta.6 and are useful for inhibiting bone resorption,
       treating and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, inflammatory arthritis, viral disease,
       tumor growth, and metastasis.
       . . been presented suggesting that angiogenesis is a central factor
SUMM
       in the initiation and persistence of arthritic disease, and that the
       vascular integrin .alpha..nu..beta.3 may be a preferred target
       in inflammatory arthritis. Therefore, .alpha..nu..beta.3 antagonists
       which inhibit angiogenesis may represent a novel.
SUMM
                These compounds, referred to as "dual
       .alpha..nu..beta.3/.alpha..nu..beta.5 antagonists," are useful for
       inhibiting bone resorption, treating and preventing osteoporosis, and
       inhibiting vascular restenosis, diabetic retinopathy, macular
       degeneration, angiogenesis, atherosclerosis, inflammation, inflammatory
       arthritis, viral disease, tumor growth, and metastasis.
       . . receptor recognizes the Arg-Gly-Asp (RGD) tripeptide sequence
SUMM
       in its cognate matrix and cell surface glycoproteins (see J. Samanen, et
       al., "vascular Indications for Integrin .alpha..nu.
       Antagonists, "Curr. Pharmaceut. Design 3: 545-584(1997)). A benzazepine
```

nucleus has been employed among others by Genentech. .

```
Nonlimiting examples of estrogen receptor modulators include estrogen,
DETD
       progesterin, estradiol, droloxifene, raloxifene, and tamoxifene
DETD
            . small unilamellar vesicles, large unilamellar vesicles and
       multilamellar vesicles. Liposomes can be formed from a variety of
       phospholipids, such as cholesterol, stearylamine or
       phosphatidylcholines.
     ANSWER 14 OF 17 USPATFULL
L6
ΑN
       2000:9915 USPATFULL
ΤI
       Integrin receptor antagonists
       Askew, Ben C., Lansdale, PA, United States
IN
       Coleman, Paul J., Wallingford, PA, United States
       Duggan, Mark E., Schwenksville, PA, United States
       Halczenko, Wasyl, Lansdale, PA, United States
       Hutchinson, John H., Philadelphia, PA, United States
       Meissner, Robert S., Schwenksville, PA, United States
       Patane, Michael A., Harleysville, PA, United States
       Wang, Jiabing, Lansdale, PA, United States
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
PΙ
       US 6017926
                               20000125
ΑI
       US 1998-212079
                               19981215 (9)
PRAI
       US 1997-69910P
                           19971217 (60)
       US 1998-83251P
                           19980427 (60)
       US 1998-92588P
                           19980713 (60)
       US 1998-79197P
                           19980324 (60)
       US 1998-79944P
                           19980330 (60)
       US 1998-80397P
                           19980402 (60)
       US 1998-92624P
                           19980713 (60)
       US 1998-99948P
                           19980911 (60)
DT
       Utility
FS
       Granted
EXNAM Primary Examiner: Dentz, Bernard
       Durette, Philippe L., Winokur, Melvin, Sabatelli, Anthony D.
LREP
CLMN
       Number of Claims: 48
       Exemplary Claim: 1
ECL
DRWN
       No Drawings
LN.CNT 5668
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       The present invention relates to compounds and derivatives thereof,
AB
       their synthesis, and their use as integrin receptor antagonists. More
       particularly, the compounds of the present invention are antagonists of
       the integrin receptors .alpha.v.beta.3, .alpha.v.beta.5 and/or
       .alpha.v.beta.6 and are useful for inhibiting bone resorption, treating
       and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, wound healing, viral disease, and tumor
       growth and metastasis.
       . . . the integrin receptors .alpha.v.beta.3, .alpha.v.beta.5 and/or
AB
       .alpha.v.beta.6 and are useful for inhibiting bone resorption, treating
       and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, wound healing, viral disease, and tumor
       growth and metastasis.
       . . . the integrin receptors .alpha.v.beta.3, .alpha.v.beta.5, and/or
SUMM
       .alpha.v.beta.6 and are useful for inhibiting bone resorption, treating
       and preventing osteoporosis, and inhibiting vascular
       restenosis, diabetic retinopathy, macular degeneration, angiogenesis,
       atherosclerosis, inflammation, wound healing, viral disease, tumor
       growth, and metastasis.
```

DETD

```
. . These compounds, referred to as "dual
SUMM
       .alpha.v.beta.3/.alpha.v.beta.5 antagonists," are useful for inhibiting
       bone resorption, treating and preventing osteoporosis, and inhibiting
       vascular restenosis, diabetic retinopathy, macular degeneration,
       angiogenesis, atherosclerosis, inflammation, tumor growth, and
       metastasis.
       Nonlimiting examples of estrogen receptor modulators include estrogen,
DETD
       progesterin, estradiol, droloxifene, raloxifene, and tamoxifene
                small unilamellar vesicles, large unilamellar vesicles and
DETD
       multilamellar vesicles. Liposomes can be formed from a variety of
       phospholipids, such as cholesterol, stearylamine or
      phosphatidylcholines.
L6
     ANSWER 15 OF 17 USPATFULL
       2000:9914 USPATFULL
AN
       Integrin antagonists
ΤI
       Duggan, Mark E., Schwenksville, PA, United States
IN
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
PΙ
       US 6017925
                               20000125
ΑI
       US 1998-6626
                               19980113 (9)
PRAI
      US 1997-35614P
                           19970117 (60)
                           19971020 (60)
      US 1997-62594P
DT
      Utility
FS
       Granted
EXNAM Primary Examiner: Dentz, Bernard
       Durette, Philippe L., Sabatelli, Anthony D., Winokur, Melvin
LREP
       Number of Claims: 25
CLMN
ECL
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 1601
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       This invention relates to certain novel compounds and derivatives
AB
       thereof, their synthesis, and their use as vitronectin receptor
       antagonists. The vitronectin receptor antagonist compounds of the
       present invention are .alpha.v.beta.3 antagonists, .alpha.v.beta.5
       antagonists or dual .alpha.v.beta.3/.alpha.v.beta.5 antagonists useful
       for inhibiting bone resorption, treating and preventing osteoporosis,
       and inhibiting restenosis, diabetic retinopathy, macular degeneration,
       angiogenesis, atherosclerosis, inflammation, viral disease, and tumor
       growth.
               are .alpha.v.beta.3 antagonists, .alpha.v.beta.5 antagonists or
SUMM
       dual .alpha.v.beta.3/.alpha.v.beta.5 antagonists useful for inhibiting
       bone resorption, treating and preventing osteoporosis, and inhibiting
       vascular restenosis, diabetic retinopathy, macular degeneration,
       angiogenesis, atherosclerosis, inflammation, viral disease, and tumor
       . . . These compounds, referred to as "dual
SUMM
       .alpha.v.beta.3/.alpha.v.beta.5 antagonists," are useful for inhibiting
       bone resorption, treating and preventing osteoporosis, and inhibiting
       vascular restenosis, diabetic retinopathy, macular degeneration,
       angiogenesis, atherosclerosis, inflammation and tumor growth.
       Further illustrative are methods of inhibiting angiogenesis comprising
DETD
       administering a compound as described above in combination with a VEGF
       (a vascular endothethial growth factor) inhibitor compound.
       Such combinations are useful for treating disease states such as macular
       degeneration, diabetic retinopathy, and.
       Nonlimiting examples of estrogen receptor modulators include estrogen,
DETD
       progesterin, estradiol, raloxifene, and tamoxifene.
```

. . . small unilamellar vesicles, large unilamellar vesicles and

SUMM

phospholipids, such as cholesterol, stearylamine or phosphatidylcholines. ANSWER 16 OF 17 USPATFULL L6 1998:61645 USPATFULL ΑN Benzothiophene compounds and methods of use ΤI Bryant, Henry Uhlman, Indianapolis, IN, United States IN Cullinan, George Joseph, Trafalgar, IN, United States Fahey, Kennan Joseph, Indianapolis, IN, United States Eli Lilly and Company, Indianapolis, IN, United States (U.S. PA corporation) PΙ US 5760030 19980602 ΑI US 1997-886575 19970630 (8) DT Utility FS Granted EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Kifle, Bruck Strode, Janelle D., Boone, David E. LREP Number of Claims: 19 CLMN Exemplary Claim: 1 ECL No Drawings DRWN LN.CNT 1058 CAS INDEXING IS AVAILABLE FOR THIS PATENT. The instant invention provides novel benzothiophene compounds, pharmaceutical formulations, and methods of use. . . to date indicates that estrogen can up regulate the low density SUMM lipid (LDL) receptors in the liver to remove excess cholesterol . Additionally, estrogen appears to have some effect on the biosynthesis of cholesterol, and other beneficial effects on cardiovascular health. the older, postmenopausal population. Current chemotherapy of SUMM these cancers have relied heavily on the use of anti-estrogen compounds, such as tamoxifene. Although such mixed agonist-antagonists have beneficial effects in the treatment of these cancers, and the estrogenic side-effects are tolerable in. Smooth muscle cell proliferation plays an important role in diseases SUMM such as atherosclerosis and restenosis. Vascular restenosis after percutaneous transluminal coronary angioplasty (PTCA) has been shown to be a tissue response characterized by an early and. . . to thrombosis with some vasospasms, while the late phase appears to be dominated by excessive proliferation and migration of vascular aortal smooth muscle cells. In this disease, the increased cell motility and colonization by such muscle cells and macrophages contribute significantly to the pathogenesis of the disease. The excessive proliferation and migration of vascular aortal smooth muscle cells may be the primary mechanism of the reocclusion of coronary arteries following PTCA, laser angioplasty, and. SUMM Vascular restenosis remains a major long term complication following surgical intervention of blocked arteries by PTCA, atherectomy, laser angioplasty, and arterial. . . the patients who undergo PTCA, reocclusion occurs within three to six months after the procedure. The current strategies for treating vascular restenosis include mechanical intervention by devices such as agents or pharmacologic therapies including heparin, low molecular weight heparin, coumarin, aspirin,. . . prostacyclin. These strategies have failed to curb the reocclusion rate and have been ineffective for the treatment and prevention of vascular restenosis. (See: "Prevention of Restenosis after Percutaneous Transluminal Coronary Angioplasty: The Search for a 'Magic Bullet'", Hermans et al., American.

. . . constituents in the blood and in the damaged arterial vessel

multilamellar vesicles. Liposomes can be formed from a variety of

DETD

DETD

DETD

DETD

L6

AN TΙ

IN

PA

PΙ

ΑI

RLI DΤ

FS

LREP

CLMN ECL

DRWN

SUMM

SUMM

AB

```
wall which mediate the proliferation of smooth muscle cells in
       vascular restenosis. Agents that inhibit the proliferation
       and/or migration of smooth aortal muscle cells are useful in the
      treatment and prevention.
         . . with 17-.alpha.-ethynyl estradiol (EE.sub.2), and rats treated
       with certain compounds of this invention. Although EE.sub.2 caused a
       decrease in serum {f cholesterol} when orally administered at 0.1
       mg/kg/day, it also exerted a stimulatory effect on the uterus so that
       EE.sub.2 uterine weight.
      Not only did the compounds of the present invention reduce serum
       cholesterol compared to the ovariectomized animals, but the
       uterine weight was increased to lesser extent than those given EE.sub.2.
       Compared to estrogenic compounds known in the art, the benefit of serum
       cholesterol reduction while lessening the effect on uterine
       weight is unusual and desirable.
                      . . 47.0* 69.1*
 .sup.a mg/kg PO
 .sup.b Uterine Weight % increase versus the ovarierectomized controls
 .sup.c Eoslnophil peroxidase, V.sub.maximum
 .sup.d Serum cholesterol decrease versus ovariectomized controls
 *p < .05
      A baseline examination of each patient would include serum determination
       of cholesterol and triglyceride levels. At the end of the
       study period (six months), each patient would have their serum lipid
       profile taken. Analysis of the data would confirm a lowering of the
       serum lipids, for example, cholesterol and/or triglycerides,
       in the test group versus the control.
    ANSWER 17 OF 17 USPATFULL
       96:97050 USPATFULL
       Hypoglycemic agents
       Cullinan, George J., Trafalgar, IN, United States
       Yen, Terence T., Indianapolis, IN, United States
       Eli Lilly and Company, Indianapolis, IN, United States (U.S.
       corporation)
                               19961022
       US 5567713
                               19950109 (8)
       US 1995-370062
       Division of Ser. No. US 1993-82218, filed on 24 Jun 1993, now abandoned
       Utility
       Granted
EXNAM Primary Examiner: Goldberg, Jerome D.
       Sales, James J., Demeter, John C., Boone, David E.
       Number of Claims: 4
       Exemplary Claim: 1
       No Drawings
LN.CNT 881
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       The present invention provides a method for treating hyperglycemia in
       mammals by administering an antiestrogen compound and pharmaceutically
       acceptable salts and solvates thereof.
       . . . standing diabetes. These symptoms include degeneration of the
       walls of blood vessels. Although many different organs are affected by
       these vascular changes, the nerves, eyes and kidneys appear to
       be the most susceptible. As such, long-standing diabetes mellitus, even
       when treated.
       . . . of juvenile onset, ketosis-prone, develops early in life with
```

much more severe symptoms and has a near-certain prospect of later

difficult and requires exogenous insulin administration. Type II

vascular involvement. Control of this type of diabetes is

diabetes mellitus, is of.

- DETD . . . 39(4), 911 (1991) which are all incorporated by reference herein, in their entirety. Specific illustrative compounds within this class include **Tamoxifene**, Clomiphene and (Z) -4-[1-[4-[2-dimethylamino)ethoxy]phenyl]-2-(4-isopropylphenyl)-1-butenyl]phenyl monophosphate.
- DETD U.S. Pat. No. 4,536,516 describes **Tamoxifene**, a triarylethylene having the formula ##STR2## and pharmaceutically acceptable acid addition salts and solvates thereof, and discloses methods of synthesis.
- DETD . . . clot at room temperature for 2 hrs, and serum is obtained following centrifugation for 10 min at 3000 rpm. Serum cholesterol is determined using a Boehringer Mannhelm Diagnostics high performance cholesterol assay. Briefly, the cholesterol is oxidized to cholest-4-en-3-one and hydrogen peroxide. The hydrogen peroxide was then reacted with phenol and 4-aminophenazone in the presence of peroxidase to produce a p-quinone imine dye, which is read spectrophotemetrically at 500 nm. Cholesterol concentration is then calculated against a standard curve. The entire assay is automated using a Biomek Automated Workstation.
- DETD Ovariectomy of the rats caused an increase in serum cholesterol as compared to intact vehicle treated controls. Estrogen, administered in the orally active form of ethynyl estradiol (EE.sub.2), causes a decrease in serum cholesterol in a dose dependent manner, but it also exerts a stimulatory action on the uterus resulting in uterine weights approaching. . .

y action on the uterus resulting in uterine

weights approaching. . => file uspatfull COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION 109.32 109.53 FULL ESTIMATED COST FILE 'USPATFULL' ENTERED AT 14:36:20 ON 27 JUN 2002 CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS) FILE COVERS 1971 TO PATENT PUBLICATION DATE: 27 Jun 2002 (20020627/PD) FILE LAST UPDATED: 27 Jun 2002 (20020627/ED) HIGHEST GRANTED PATENT NUMBER: US6412112 HIGHEST APPLICATION PUBLICATION NUMBER: US2002083506 CA INDEXING IS CURRENT THROUGH 27 Jun 2002 (20020627/UPCA) ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 27 Jun 2002 (20020627/PD) REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2002 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2002 USPAT2 is now available. USPATFULL contains full text of the <<< original, i.e., the earliest published granted patents or <<< >>> applications. USPAT2 contains full text of the latest US >>> <<< >>> publications, starting in 2001, for the inventions covered in <<< >>> USPATFULL. A USPATFULL record contains not only the original <<< >>> published document but also a list of any subsequent <<< >>> publications. The publication number, patent kind code, and <<< >>> publication date for all the US publications for an invention <<< >>> are displayed in the PI (Patent Information) field of USPATFULL <<< >>> records and may be searched in standard search fields, e.g., /PN, <<< >>> /PK, etc. <<< >>> USPATFULL and USPAT2 can be accessed and searched together >>> through the new cluster USPATALL. Type FILE USPATALL to

<<< <<< <<< >>> enter this cluster. <<< >>> <<< >>> Use USPATALL when searching terms such as patent assignees, classifications, or claims, that may potentially change from <<< >>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s atherosclereosis and tamoxifene

2 ATHEROSCLEREOSIS

47 TAMOXIFENE

L7 O ATHEROSCLEREOSIS AND TAMOXIFENE

=> s atherosclerosis and tamoxifene

10584 ATHEROSCLEROSIS

47 TAMOXIFENE

19 ATHEROSCLEROSIS AND TAMOXIFENE L8

=> s 18 and pd<1999

2432548 PD<1999

(PD<19990000)

1 L8 AND PD<1999 L9

=> d 19 bib, ab, kwic

```
ANSWER 1 OF 1 USPATFULL
L9
       1998:61645 USPATFULL
ΑN
TI
       Benzothiophene compounds and methods of use
IN
       Bryant, Henry Uhlman, Indianapolis, IN, United States
       Cullinan, George Joseph, Trafalgar, IN, United States
       Fahey, Kennan Joseph, Indianapolis, IN, United States
       Eli Lilly and Company, Indianapolis, IN, United States (U.S.
PA
       corporation)
       US 5760030
                               19980602
                                                                     <--
PΤ
       US 1997-886575
                               19970630 (8)
ΑI
DT
       Utility
FS
       Granted
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Kifle, Bruck
LREP
       Strode, Janelle D., Boone, David E.
       Number of Claims: 19
CLMN
       Exemplary Claim: 1
ECL
DRWN
       No Drawings
LN.CNT 1058
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       The instant invention provides novel benzothiophene compounds,
       pharmaceutical formulations, and methods of use.
       US 5760030
                                19980602
                                                                     <--
PΙ
               the older, postmenopausal population. Current chemotherapy of
SUMM
       these cancers have relied heavily on the use of anti-estrogen compounds,
       such as tamoxifene. Although such mixed agonist-antagonists
       have beneficial effects in the treatment of these cancers, and the
       estrogenic side-effects are tolerable in.
       Smooth muscle cell proliferation plays an important role in diseases
SUMM
       such as atherosclerosis and restenosis. Vascular restenosis
       after percutaneous transluminal coronary angioplasty (PTCA) has been
       shown to be a tissue response characterized by.
=> d his
     (FILE 'HOME' ENTERED AT 14:21:25 ON 27 JUN 2002)
     FILE 'ADISALERTS, ADISINSIGHT, ADISNEWS, BIOSIS, BIOTECHNO, CANCERLIT,
     CAPLUS, CEN, DGENE, DRUGB, DRUGLAUNCH, DRUGMONOG2, DRUGNL, DRUGU, EMBAL,
     EMBASE, ESBIOBASE, IFIPAT, IPA, JICST-EPLUS, KOSMET, LIFESCI, MEDICONF,
     MEDLINE, NAPRALERT, NLDB, PASCAL, ...' ENTERED AT 14:21:53 ON 27 JUN 2002
             28 S TAMOXIFENE AND ATHEROSCLEROSIS
L1
              0 S TAMOXIFENE AND ATHEROSCLEROSIS/CLS
L2
              1 S TAMOXIFENE AND ATHEROSCLEROSIS/CLM
L3
             93 S TAMOXIFENE AND VASCULAR
L4
              2 S TAMOXIFENE AND VASCULAR/CLM
L5
             17 S L4 AND CHOLESTEROL
1.6
     FILE 'USPATFULL' ENTERED AT 14:36:20 ON 27 JUN 2002
L7
              0 S ATHEROSCLEREOSIS AND TAMOXIFENE
L8
             19 S ATHEROSCLEROSIS AND TAMOXIFENE
L9
              1 S L8 AND PD<1999
=> d 18 1-19
     ANSWER 1 OF 19 USPATFULL
rs
       2002:152632 USPATFULL
AN
ΤI
       .alpha.v integrin receptor antagonists
IN
       Duggan, Mark E., Schwenksville, PA, United States
```

```
Hartman, George D., Lansdale, PA, United States
       Meissner, Robert S., Schwenksville, PA, United States
       Perkins, James J., Churchville, PA, United States
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
PΙ
       US 6410526
                          В1
                                20020625
       US 2000-583522
                                20000531 (9)
ΑI
                           19990602 (60)
PRAI
       US 1999-137101P
       US 2000-179216P
                           20000131 (60)
       Utility
DT
       GRANTED
FS
LN.CNT 3656
INCL
       INCLM: 514/212.020
       INCLS: 514/212.060; 514/215.000; 540/521.000; 540/543.000; 540/577.000;
              540/580.000
NCL
       NCLM:
              514/212.020
       NCLS:
              514/212.060; 514/215.000; 540/521.000; 540/543.000; 540/577.000;
              540/580.000
IC
       [7]
       ICM: A61K031-55
       ICS: C07D487-02; A61P019-10
       514/212.02; 514/212.06; 514/215; 540/521; 540/543; 540/577; 540/580
EXF
L8
     ANSWER 2 OF 19 USPATFULL
AN
       2002:92700 USPATFULL
TΙ
       Alpha v integrin receptor antagonists
IN
       Arison, Byron H., Watchung, NJ, UNITED STATES
       Cui, Donghui, Newton, PA, UNITED STATES
       Duggan, Mark E., Schwenksville, PA, UNITED STATES
       Halczenko, Wasyl, Lansdale, PA, UNITED STATES
       Hutchinson, John H., Philadelphia, PA, UNITED STATES
       Prueksaritanont, Thomayant, Lansdale, PA, UNITED STATES
       Subramanian, Raju, Perkasie, PA, UNITED STATES
       Fang, Xiaojun, Kalamazoo, MI, UNITED STATES
                                20020425
PΙ
       US 2002049224
                          A1
ΑI
       US 2001-952084
                          A1
                                20010914 (9)
       US 2000-232344P
                           20000914 (60)
PRAI
       Utility
DT
       APPLICATION
FS
LN.CNT 1088
       INCLM: 514/300.000
INCL
       INCLS: 546/122.000
NCL
       NCLM:
              514/300.000
              546/122.000
       NCLS:
IC
       [7]
       ICM: A61K031-4745
       ICS: C07D471-02
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 3 OF 19 USPATFULL
1.8
AN
       2002:72890 USPATFULL
ΤI
       Alpha V integrin receptor antagonists
TN
       Coleman, Paul J., Wallingford, PA, UNITED STATES
       Cui, Donghui, Newtown, PA, UNITED STATES
       Duggan, Mark E., Schwenksville, PA, UNITED STATES
       Hutchinson, John H., Philadelphia, PA, UNITED STATES
       Prueksaritanont, Thomayant, Landsdale, PA, UNITED STATES
       Silva Elipe, Maria Victoria, Mountainside, NJ, UNITED STATES
       Fang, Xiaojun, Kalamazoo, MI, UNITED STATES
       US 2002040030
                          A1
                                20020404
PΙ
ΑI
       US 2001-953606
                          Α1
                                20010914 (9)
```

```
PRAI
       US 2000-232262P
                            20000914 (60)
DT
       Utility
FS
       APPLICATION
LN.CNT 1296
       INCLM: 514/256.000
INCL
       INCLS: 544/333.000
NCL
              514/256.000
       NCLM:
       NCLS: 544/333.000
IC
       [7]
       ICM: C07D043-14
       ICS: A61K031-506
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
rs
     ANSWER 4 OF 19 USPATFULL
AN
       2002:67236 USPATFULL
ΤI
       Alpha V integrin receptor antagonists
       Duggan, Mark E., Schwenksville, PA, UNITED STATES
IN
       Halczenko, Wasyl, Lansdale, PA, UNITED STATES
       Hutchinson, John H., Philadelphia, PA, UNITED STATES
       Li, Aiwen, Audubon, PA, UNITED STATES
       Meissner, Robert S., Schwenksville, PA, UNITED STATES
       Perkins, James J., Churchville, PA, UNITED STATES
       Steele, Thomas G., Schwenksville, PA, UNITED STATES
       Wang, Jiabing, Chalfont, PA, UNITED STATES
       Patane, Michael A., Billerica, MA, UNITED STATES
       US 2002037889
                          A1
                                20020328
PΙ
       US 2001-766148
                                20010119 (9)
ΑI
                          Α1
PRAI
       US 2000-177168P
                           20000120 (60)
DT
       Utility
FS
       APPLICATION
LN.CNT 2835
INCL
       INCLM: 514/214.010
       INCLS: 514/256.000; 514/278.000; 514/300.000; 514/340.000
NCL
       NCLM: 514/214.010
       NCLS: 514/256.000; 514/278.000; 514/300.000; 514/340.000
IC
       [7]
       ICM: A61K031-55
       ICS: A61K031-505; A61K031-44
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
L8
     ANSWER 5 OF 19 USPATFULL
       2002:57802 USPATFULL
ΑN
ΤI
       Integrin receptor antagonists
       Duggan, Mark E., Schwenksville, PA, United States
IN
       Hartman, George D., Lansdale, PA, United States
       Perkins, James J., Churchville, PA, United States
       Ihle, Nathan, Mercer Island, WA, United States
PA
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
                               20020319
PΙ
       US 6358970
                          В1
                                20000621 (9)
AΙ
       US 2000-599088
       US 1999-140535P
PRAI
                           19990623 (60)
DT
       Utility
FS
       GRANTED
LN.CNT 2558
       INCLM: 514/300.000
INCL
       INCLS: 514/253.000; 540/597.000; 544/362.000; 546/122.000
NCL
       NCLM:
              514/300.000
       NCLS:
              514/253.040; 540/597.000; 544/362.000; 546/122.000
IC
       [7]
       ICM: A61K031-435
```

```
ICS: C07D471-04
       546/122; 544/362; 514/300; 514/253
EXF
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
1.8
     ANSWER 6 OF 19 USPATFULL
AN
       2002:17296 USPATFULL
ΤI
       Integrin receptor antagonists
       Askew, Ben C., Lansdale, PA, UNITED STATES
IN
       Coleman, Paul J., Wallingford, PA, UNITED STATES
       Duggan, Mark E., Schwenksville, PA, UNITED STATES
       Halczenko, Wasyl, Lansdale, PA, UNITED STATES
       Hartman, George D., Lansdale, PA, UNITED STATES
       Hunt, Cecilia A., Plymouth Meeting, PA, UNITED STATES
       Hutchinson, John H., Philadelphia, PA, UNITED STATES
       Meissner, Robert S., Schwenksville, PA, UNITED STATES
       Patane, Michael A., Harleysville, PA, UNITED STATES
       Smith, Garry R., Limerick, PA, UNITED STATES
       Wang, Jiabing, Lansdale, PA, UNITED STATES
       US 2002010176
                          Α1
                               20020124
PΙ
AI
       US 2001-916977
                          Α1
                               20010728 (9)
       Division of Ser. No. US 1999-454847, filed on 7 Dec 1999, PENDING
RLI
       Division of Ser. No. US 1998-212082, filed on 15 Dec 1998, GRANTED, Pat.
       No. US 6048861
       US 1997-69899P
PRAI
                           19971217 (60)
       US 1998-83209P
                           19980427 (60)
       US 1998-92622P
                           19980713 (60)
       US 1998-108063P
                           19981112 (60)
       Utility
DT
       APPLICATION
FS
LN.CNT 5336
INCL
       INCLM: 514/224.200
       INCLS: 514/227.500; 514/238.200; 514/249.000; 514/252.120; 514/256.000;
              514/258.000; 514/277.000; 514/412.000; 514/359.000; 514/550.000;
              514/551.000; 560/149.000; 560/168.000; 548/570.000; 548/452.000;
              546/341.000; 546/329.000; 544/399.000; 544/349.000
              514/224.200
NCL
       NCLM:
              514/227.500; 514/238.200; 514/249.000; 514/252.120; 514/256.000;
       NCLS:
              514/258.000; 514/277.000; 514/412.000; 514/359.000; 514/550.000;
              514/551.000; 560/149.000; 560/168.000; 548/570.000; 548/452.000;
              546/341.000; 546/329.000; 544/399.000; 544/349.000
IC
       [7]
       ICM: A61K031-54
       ICS: A61K031-535; A61K031-495; C07D211-82
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
    ANSWER 7 OF 19 USPATFULL
1.8
       2001:237948 USPATFULL
ΑN
       METHOD OF TREATMENT AND PREVENTION OF NITRIC OXIDE DEFICIENCY-RELATED
ΤI
       DISORDERS WITH CITRULLINE AND CITRULLINE DERIVATIVES
       CHWALISZ, KRISTOF, BERLIN, Germany, Federal Republic of
IN
       GARFIELD, ROBERT E., FRIENDSWOOD, TX, United States
       SHI, SHAO-QUING, GALVESTON, TX, United States
                               20011227
PΙ
       US 2001056068
                         A1
AΤ
       US 1998-34351
                          A1
                               19980304 (9)
       Utility
DТ
FS
       APPLICATION
LN.CNT 1391
       INCLM: 514/021.000
TNCL
       NCLM: 514/021.000
NCL
IC
       [7]
```

```
ICM: A61K038-00
       ICS: A61K031-47
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
1.8
     ANSWER 8 OF 19 USPATFULL
       2001:233621 USPATFULL
AN
TI
       Alpha V integrin receptor antagonists
       Askew, Ben C., Newbury Park, CA, United States
IN
       Breslin, Michael J., Drexel Hill, PA, United States
       Duggan, Mark E., Schwenksville, PA, United States
       Hutchinson, John H., Philadelphia, PA, United States
       Meissner, Robert S., Schwenksville, PA, United States
       Perkins, James J., Churchville, PA, United States
       Steele, Thomas G., Schwenksville, PA, United States
       Patane, Michael A., Billerica, MA, United States
       US 2001053853
                          A1
                                20011220
PΤ
                                20010123 (9)
       US 2001-767471
                          A1
AΙ
                           20000124 (60)
PRAI
       US 2000-177792P
       US 2000-230469P
                           20000906 (60)
DT
       Utility
FS
       APPLICATION
LN.CNT 4132
       INCLM: 544/295.000
INCL
       INCLS: 544/296.000; 544/333.000
NCL
       NCLM:
              544/295.000
       NCLS: 544/296.000; 544/333.000
IC
       [7]
       ICM: C07D043-02
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 9 OF 19 USPATFULL
r_8
       2001:168133 USPATFULL
AN
       Integrin receptor antagonists
ΤI
       Duggan, Mark E., Schwenksville, PA, United States
IN
       Hartman, George D., Lansdale, PA, United States
       Patane, Michael A., Harleysville, PA, United States
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PΑ
                                20011002
       US 6297249
ΡI
                          В1
                                19991202 (9)
ΑI
       US 1999-453847
       Division of Ser. No. US 1998-212082, filed on 15 Dec 1998
RLI
       US 1997-69899P
                           19971217 (60)
PRAI
       US 1998-83209P
                           19980427 (60)
       US 1998-92622P
                           19980713 (60)
       US 1998-108063P
                           19981112 (60)
DT
       Utility
       GRANTED
FS
LN.CNT 4784
       INCLM: 514/256.000
INCL
       INCLS: 514/302.000; 514/352.000; 544/333.000; 546/115.000; 546/312.000
NCL
              514/256.000
       NCLS:
              514/302.000; 514/352.000; 544/333.000; 546/115.000; 546/312.000
IC
       [7]
       ICM: C07D401-06
       ICS: C07D213-55; A61K031-444
       544/333; 546/115; 546/312; 514/256; 514/302; 514/352
EXF
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
L8
     ANSWER 10 OF 19 USPATFULL
       2001:121485 USPATFULL
AN
TΙ
       Integrin receptor antagonists
```

```
Duggan, Mark E., Schwenksville, PA, United States
IN
     , Meissner, Robert S., Schwenksville, PA, United States
       Perkins, James J., Churchville, PA, United States
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
PΙ
       US 6268378
                          В1
                                20010731
ΑI
       US 2000-498895
                                20000207 (9)
       Division of Ser. No. US 1998-212123, filed on 15 Dec 1998, now patented,
RLI
       Pat. No. US 6066648, issued on 23 May 2000
                           19971217 (60)
       US 1997-69910P
PRAI
                           19980427 (60)
       US 1998-83251P
       US 1998-92588P
                           19980713 (60)
DТ
       Utility
FS
       GRANTED
LN.CNT 4460
INCL
       INCLM: 514/300.000
       INCLS: 546/122.000
              514/300.000
NCL
       NCLM:
              546/122.000
       NCLS:
IC
       [7]
       ICM: A61K031-4375
       ICS: C07D471-04
       546/122; 514/300
EXF
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
L8
     ANSWER 11 OF 19 USPATFULL
AN
       2001:71543 USPATFULL
       Bezazepine derivatives as .alpha.v integrin receptor antagonists
ΤI
       Askew, Ben C., Lansdale, PA, United States
IN
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
       US 6232308
                          В1
                                20010515
PΙ
       US 2000-496525
                                20000202 (9)
ΑI
                           19990203 (60)
PRAI
       US 1999-118428P
       Utility
DT
       Granted
FS
LN.CNT 1967
INCL
       INCLM: 514/221.000
       INCLS: 540/504.000; 540/509.000; 540/510.000; 540/511.000; 540/491.000;
              540/523.000; 514/211.050; 514/212.070
NCL
       NCLM:
              514/221.000
              514/211.050; 514/212.070; 540/491.000; 540/504.000; 540/509.000;
       NCLS:
              540/510.000; 540/511.000; 540/523.000
IC
       [7]
       ICM: A61K031-5513
       ICS: C07D243-14; C07D471-04; C07D471-14
       540/504; 540/509; 540/510; 540/511; 514/221
EXF
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
L8
     ANSWER 12 OF 19 USPATFULL
       2001:48064 USPATFULL
AN
       Integrin receptor antagonists
ΤI
IN
       Duggan, Mark E., Schwenksville, PA, United States
       Perkins, James J., Churchville, PA, United States
       Meissner, Robert S., Schwenksville, PA, United States
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PΑ
       US 6211191
                          В1
                                20010403
PΙ
       US 1998-212510
ΑI
                                19981215 (9)
PRAI
       US 1997-69909P
                           19971217 (60)
                           19980427 (60)
       US 1998-83250P
       US 1998-92630P
                           19980713 (60)
       Utility
DT
```

```
FS
       Granted
LN.CNT 3544
INCL
       INCLM: 514/274.000
       INCLS: 544/296.000; 544/316.000; 562/013.000
NCL
              514/274.000
       NCLS: 544/296.000; 544/316.000; 562/013.000
IC
       [7]
       ICM: C07D403-06
       ICS: C07D401-06; A61K031-506; A61P019-02; A61P035-00
       562/13; 544/296; 544/316; 514/274
EXF
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 13 OF 19 USPATFULL
1.8
AN
       2000:92099 USPATFULL
       Alkanoic acid derivatives as .alpha.v integrin receptor antagonists
ΤI
       Hutchinson, John H., Philadelphia, PA, United States
IN
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PΑ
                                20000718
       US 6090944
PΙ
       US 1999-371444
                                19990810 (9)
ΑI
PRAI
       US 1998-96378P
                           19980813 (60)
DT
       Utility
FS
       Granted
LN.CNT 3589
INCL
       INCLM: 546/122.000
       INCLS: 514/218.000; 514/252.000; 514/299.000; 514/300.000; 514/340.000;
              514/390.000; 514/392.000; 540/492.000; 544/284.000; 546/122.000;
              546/134.000; 546/274.000; 546/004.000; 546/300.000; 546/277.700;
              548/304.700; 548/323.500; 548/324.500; 548/325.100
NCL
              546/122.000
       NCLM:
              540/492.000; 544/284.000; 546/004.000; 546/134.000; 546/274.400;
       NCLS:
              546/276.100; 546/277.700; 546/300.000; 548/304.700; 548/323.500;
              548/324.500; 548/325.100
IC
       [7]
       ICM: C07D471-02
       ICS: C07D453-02; C07D401-06; A61K031-4375; A61N019-08; A61N019-10
       546/122; 546/274.4; 546/277.7; 544/284; 540/492; 548/304.7; 514/300;
EXF
       514/218; 514/392
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 14 OF 19 USPATFULL
rs
ΑN
       2000:64874 USPATFULL
TΤ
       Integrin receptor antagonists
       Duggan, Mark E., Schwenksville, PA, United States
IN
       Meissner, Robert S., Schwenksville, PA, United States
       Perkins, James J., Churchville, PA, United States
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
                                20000523
       US 6066648
PΙ
                                19981215 (9)
ΑI
       US 1998-212123
PRAI
       US 1997-69910P
                           19971217 (60)
       US 1998-83251P
                           19980427 (60)
       US 1998-92588P
                           19980713 (60)
DT
       Utility
FS
       Granted
LN.CNT 4780
       INCLM: 514/300.000
TNCL
       INCLS: 546/122.000
              514/300.000
NCL
       NCLM:
       NCLS:
              546/122.000
IC
       [7]
       ICM: A01N043-40
```

```
ICS: C07D471-04
EXF
       546/122; 514/300
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 15 OF 19 USPATFULL
L8
ΑN
       2000:44101 USPATFULL
ΤI
       Integrin receptor antagonists
       Askew, Ben C., Lansdale, PA, United States
IN
       Coleman, Paul J., Wallingford, PA, United States
       Duggan, Mark E., Schwenksville, PA, United States
       Halczenko, Wasyl, Lansdale, PA, United States
       Hartman, George D., Lansdale, PA, United States
       Hunt, Cecilia A., Plymouth Meeting, PA, United States
       Hutchinson, John H., Philadelphia, PA, United States
       Meissner, Robert S., Schwenksville, PA, United States
       Patane, Michael A., Harleysville, PA, United States
       Smith, Garry R., Limerick, PA, United States
       Wang, Jiabing, Lansdale, PA, United States
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
PΙ
       US 6048861
                               20000411
ΑI
       US 1998-212082
                               19981215 (9)
PRAI
       US 1997-69899P
                           19971217 (60)
       US 1998-83209P
                           19980427 (60)
                           19980713 (60)
       US 1998-92622P
       US 1998-108063P
                           19981112 (60)
DT
       Utility
FS
       Granted
LN.CNT 5443
       INCLM: 514/256.000
INCL
       INCLS: 514/300.000; 544/333.000; 546/122.000; 546/123.000
NCL
              514/256.000
              514/300.000; 544/333.000; 546/122.000; 546/123.000
       NCLS:
       [7]
IC
       ICM: C07D471-04
       ICS: C07D401-06; C07D401-12; A61K031-44; A61K031-435
       544/333; 546/122; 546/123; 514/256; 514/300
EXF
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
L8
     ANSWER 16 OF 19 USPATFULL
       2000:34557 USPATFULL
ΑN
ΤI
       Integrin receptor antagonists
IN
       Duggan, Mark E., Schwenksville, PA, United States
       Hartman, George D., Lansdale, PA, United States
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
                               20000321
       US 6040311
PΙ
       US 1999-362528
                               19990728 (9)
ΑI
                           19980729 (60)
PRAI
       US 1998-94478P
DT
       Utility
FS
       Granted
LN.CNT 2801
INCL
       INCLM: 514/275.000
       INCLS: 514/300.000; 514/395.000; 514/398.000; 544/332.000; 546/122.000;
              548/308.700; 548/321.500
NCL
       NCLM:
              514/275.000
              514/300.000; 514/395.000; 514/398.000; 544/332.000; 546/122.000;
       NCLS:
              548/308.700; 548/321.500
IC
       [7]
       ICM: A61K031-505
       ICS: A61K031-435; C07D239-42; C07D471-04
       544/332; 546/122; 548/308.7; 548/321.5; 514/275; 514/300; 514/395;
EXF
```

```
514/398
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 17 OF 19 USPATFULL
1.8
AN
       2000:9915 USPATFULL
ΤI
       Integrin receptor antagonists
       Askew, Ben C., Lansdale, PA, United States
IN
       Coleman, Paul J., Wallingford, PA, United States
       Duggan, Mark E., Schwenksville, PA, United States
       Halczenko, Wasyl, Lansdale, PA, United States
       Hutchinson, John H., Philadelphia, PA, United States
       Meissner, Robert S., Schwenksville, PA, United States
       Patane, Michael A., Harleysville, PA, United States
       Wang, Jiabing, Lansdale, PA, United States
PA
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
                                20000125
PΤ
       US 6017926
       US 1998-212079
                                19981215 (9)
ΑI
       US 1997-69910P
                           19971217 (60)
PRAI
       US 1998-83251P
                           19980427 (60)
       US 1998-92588P
                           19980713 (60)
       US 1998-79197P
                           19980324 (60)
       US 1998-79944P
                           19980330 (60)
       US 1998-80397P
                           19980402 (60)
       US 1998-92624P
                           19980713 (60)
       US 1998-99948P
                           19980911 (60)
       Utility
TTC
FS
       Granted
LN.CNT 5668
INCL
       INCLM: 514/300.000
       INCLS: 514/230.500; 514/300.000; 514/333.000; 544/105.000; 544/335.000;
              546/081.000; 546/082.000; 546/122.000; 546/256.000; 546/115.000;
              546/118.000; 548/306.100
NCL
       NCLM:
              514/300.000
              514/230.500; 514/333.000; 544/105.000; 544/335.000; 546/081.000;
       NCLS:
              546/082.000; 546/115.000; 546/118.000; 546/122.000; 546/256.000;
              548/306.100
IC
       [6]
       ICM: A61K031-435
       ICS: C07D471-04
       546/122; 546/256; 546/81; 546/82; 544/105; 544/335; 548/306.1;
EXF
       514/230.5; 514/333; 514/303; 514/300
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 18 OF 19 USPATFULL
L8
       2000:9914 USPATFULL
AN
ΤI
       Integrin antagonists
       Duggan, Mark E., Schwenksville, PA, United States
IN
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PA
                                20000125
PΙ
       US 6017925
       US 1998-6626
                                19980113 (9)
AΤ
PRAI
       US 1997-35614P
                           19970117 (60)
       US 1997-62594P
                           19971020 (60)
דת
       Utility
       Granted
FS
LN.CNT 1601
       INCLM: 514/300.000
INCL
       INCLS: 514/394.000; 514/562.000; 514/564.000; 514/565.000; 546/122.000;
              548/304.400; 560/013.000; 560/035.000; 560/041.000; 562/427.000;
              562/444.000; 562/450.000
NCL
       NCLM:
              514/300.000
```

```
514/394.000; 514/562.000; 514/564.000; 514/565.000; 546/122.000;
       NCLS:
              548/304.400; 560/013.000; 560/035.000; 560/041.000; 562/427.000;
              562/444.000; 562/450.000
IC
       [6]
       ICM: A61K031-435
       ICS: C07D471-04
       546/122; 562/427; 548/304.4; 514/300; 514/394
EXF
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 19 OF 19 USPATFULL
L8
       1998:61645 USPATFULL
ΑN
       Benzothiophene compounds and methods of use
ΤI
       Bryant, Henry Uhlman, Indianapolis, IN, United States
IN
       Cullinan, George Joseph, Trafalgar, IN, United States
       Fahey, Kennan Joseph, Indianapolis, IN, United States
       Eli Lilly and Company, Indianapolis, IN, United States (U.S.
PA
       corporation)
                               19980602
PΙ
       US 5760030
       US 1997-886575
                               19970630 (8)
ΑI
DT
       Utility
FS
       Granted
LN.CNT 1058
       INCLM: 514/213.000
INCL
       INCLS: 514/324.000; 514/422.000; 514/444.000; 540/596.000; 546/202.000;
              548/527.000; 549/051.000; 549/057.000
              514/217.030
NCL
       NCLM:
              514/324.000; 514/422.000; 514/444.000; 540/596.000; 546/202.000;
       NCLS:
              548/527.000; 549/051.000; 549/057.000
IC
       [6]
       ICM: A61K031-38
       ICS: A61K031-44; C07D409-00; C07D411-00
       546/202; 514/324; 514/422; 514/213; 514/444; 548/527; 540/596; 549/51;
EXF
       549/57
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
=> d 18 1-19 ab
     ANSWER 1 OF 19 USPATFULL
L8
       The present invention relates to novel nonanoic acid derivatives, their
AΒ
       synthesis, and their use as .alpha.v integrin receptor antagonists. More
       particularly, the compounds of the present invention are antagonists of
       the integrin receptors .alpha.v.beta.3 and .alpha.v.beta.5 and are
       useful for inhibiting bone resorption, treating and preventing
       osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy,
       macular degeneration, angiogenesis, atherosclerosis,
       inflammation, inflammatory arthritis, viral disease, cancer, and
       metastatic tumor growth.
L8
     ANSWER 2 OF 19 USPATFULL
AB
       The present invention relates to novel compounds formed by metabolic
       conversion of compounds of structural formula (1), pharmaceutical
       compositions containing such compounds, and their use as .alpha.v.beta.3
       integrin receptor antagonists. The compounds of the present invention
       are useful for inhibiting bone resorption, restenosis, angiogenesis,
       diabetic retinopathy, macular degeneration, inflammatory arthritis,
       cancer, and metastatic tumor growth. They are particularly useful for
       inhibiting bone resorption and for the treatment and prevention of
                       ##STR1##
       osteoporosis.
```

### L8 ANSWER 3 OF 19 USPATFULL

The present invention relates to novel compounds formed by metabolic conversion of compounds of the structural formula depicted below (R.dbd.H or Me), pharmaceutical compositions containing such compounds, and their use as .alpha.v.beta.3 integrin receptor antagonists. The compounds of the present invention are useful for inhibiting bone resorption, restenosis, angiogenesis, diabetic retinopathy, macular degeneration, inflammatory arthritis, cancer, and metastatic tumor growth. They are particularly useful for inhibiting bone resorption and for the treatment and prevention of osteoporosis. ##STR1##

### L8 ANSWER 4 OF 19 USPATFULL

The present invention relates to novel imidazolidinone derivatives thereof, their synthesis, and their use as .alpha.v integrin receptor antagonists. More particularly, the compounds of the present invention are antagonists of the integrin receptors .alpha.v.beta.3 and/or .alpha.v.beta.5 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, inflammatory arthritis, viral disease, cancer, and metastatic tumor growth.

### L8 ANSWER 5 OF 19 USPATFULL

The present invention relates to compounds and derivatives thereof, their synthesis, and their use as integrin receptor antagonists. More particularly, the compounds of the present invention are antagonists of the integrin receptors .alpha.v.beta.3 and/or .alpha.v.beta.5 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, inflammatory arthritis, viral disease, cancer, and metastatic tumor growth.

## L8 ANSWER 6 OF 19 USPATFULL

The present invention relates to compounds and derivatives thereof, their synthesis, and their use as integrin receptor antagonists. More particularly, the compounds of the present invention are antagonists of the integrin receptors .alpha.v.beta.3, .alpha.v.beta.5, and/or .alpha.v.beta.6 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, wound healing, viral disease, tumor growth, and metastasis.

## L8 ANSWER 7 OF 19 USPATFULL

The invention provides methods for control, management, treatment and AΒ prevention of conditions related to nitric oxide deficiency such as hypertension, cardiovascular disease, osteoporosis, diabetes mellitus, preeclampsia HELLP, syndrome and fetal growth retardation; uterine contractility disorders such as preterm labor and dysmenorrhea, cervical dystocia, infertility and early pregnancy loss; male impotence; urinary incontinence; intestinal tract disorders (e.g. altered motility and pyloric stenosis), respiratory system diseases (e.g. asthma, neonatal respiratory distress syndrome, pulmonary hypertension, and adult respiratory distress syndrome); inflammatory diseases (e.g. acute inflammation, resistance to infection, SLE-lupus, anaphylactic reaction, allograft rejection); Alzheimer's disease, stroke, growth hormone disorders, and behavior changes; dermatological conditions such as atopic eczema, topical hair loss, and burn injury; by administering 4 citrulline or a citrulline analogue, optionally in combination with

other enhancing or modulating agents, e.g., an estrogenic, partial estrogenic, progestagenic, or androgenic agent, and pharmaceutical preparations for such uses.

## L8 ANSWER 8 OF 19 USPATFULL

The present invention relates to novel alkanoic acid derivatives thereof, their synthesis, and their use as .alpha.v integrin receptor antagonists. More particularly, the compounds of the present invention are antagonists of the integrin receptors .alpha.v.beta.3 and/or .alpha.v.beta.5 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammatory arthritis, cancer, and metastatic tumor growth.

## L8 ANSWER 9 OF 19 USPATFULL

The present invention relates to compounds and derivatives thereof, their synthesis, and their use as integrin receptor antagonists. More particularly, the compounds of the present invention are antagonists of the integrin receptors .alpha.v.beta.3, .alpha.v.beta.5, and/or .alpha.v.beta.6 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, wound healing, viral disease, tumor growth, and metastasis.

### L8 ANSWER 10 OF 19 USPATFULL

The present invention relates to compounds and derivatives thereof, their synthesis, and their use as vitronectin receptor antagonists. More particularly, the compounds of the present invention are antagonists of the vitronectin receptors .alpha.v.beta.3 and/or .alpha.v.beta.5 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, viral disease, and tumor growth.

## L8 ANSWER 11 OF 19 USPATFULL

The present invention relates to benzazepine derivatives and their use as .alpha.v integrin receptor antagonists. More particularly, the compounds of the present invention are antagonists of the integrin receptors .alpha.v.beta.3, .alpha.v.beta.5, and/or .alpha.v.beta.6 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, wound healing, viral disease, tumor growth, and metastasis.

## L8 ANSWER 12 OF 19 USPATFULL

The present invention relates to compounds and derivatives thereof, their synthesis, and their use as integrin receptor antagonists. More particularly, the compounds of the present invention are antagonists of the integrin receptors .alpha..nu..beta.3, .alpha..nu..beta.5, and/or .alpha..nu..beta.6 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, wound healing, viral disease, tumor growth, and metastasis.

### L8 ANSWER 13 OF 19 USPATFULL

AB The present invention relates to compounds and derivatives thereof,

their synthesis, and their use as integrin receptor antagonists. More particularly, the compounds of the present invention are antagonists of the integrin receptors .alpha.v.beta.3, .alpha.v.beta.5 and/or .alpha.v.beta.6 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, inflammatory arthritis, viral disease, and tumor growth and metastasis.

## L8 ANSWER 14 OF 19 USPATFULL

The present invention relates to compounds and derivatives thereof, their synthesis, and their use as vitronectin receptor antagonists. More particularly, the compounds of the present invention are antagonists of the vitronectin receptors .alpha..nu..beta.3 and/or .alpha..nu..beta.5 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, viral disease, and tumor growth.

### L8 ANSWER 15 OF 19 USPATFULL

The present invention relates to compounds and derivatives thereof, their synthesis, and their use as integrin receptor antagonists. More particularly, the compounds of the present invention are antagonists of the integrin receptors .alpha.v.beta.3, .alpha.v.beta.5, and/or .alpha.v.beta.6 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, wound healing, viral disease, tumor growth, and metastasis.

#### L8 ANSWER 16 OF 19 USPATFULL

The present invention relates to compounds and derivatives thereof, their synthesis, and their use as integrin receptor antagonists. More particularly, the compounds of the present invention are antagonists of the integrin receptors .alpha..nu..beta.3, .alpha..nu..beta.5 and/or .alpha..nu..beta.6 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, inflammatory arthritis, viral disease, and tumor growth and metastasis.

## L8 ANSWER 17 OF 19 USPATFULL

The present invention relates to compounds and derivatives thereof, their synthesis, and their use as integrin receptor antagonists. More particularly, the compounds of the present invention are antagonists of the integrin receptors .alpha.v.beta.3, .alpha.v.beta.5 and/or .alpha.v.beta.6 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, wound healing, viral disease, and tumor growth and metastasis.

### L8 ANSWER 18 OF 19 USPATFULL

This invention relates to certain novel compounds and derivatives thereof, their synthesis, and their use as vitronectin receptor antagonists. The vitronectin receptor antagonist compounds of the present invention are .alpha.v.beta.3 antagonists, .alpha.v.beta.5 antagonists or dual .alpha.v.beta.3/.alpha.v.beta.5 antagonists useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting restenosis, diabetic retinopathy, macular degeneration,

# pct/09885247

. 1

angiogenesis, atherosclerosis, inflammation, viral disease, and tumor growth.

- L8 ANSWER 19 OF 19 USPATFULL
- AB The instant invention provides novel benzothiophene compounds, pharmaceutical formulations, and methods of use.